

05/14/2006 10731308.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 08 X.25 communication option no longer available after June 2006
NEWS 10 MAR 22 EMBASE is now updated on a daily basis
NEWS 11 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 12 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 13 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 14 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 15 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 16 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 17 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 18 MAY 11 KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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agreement. Please note that this agreement limits use to scientific
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of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * *

COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: <http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW>

Thank you in advance for your participation.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*

05/14/2006 10731308.trn

* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

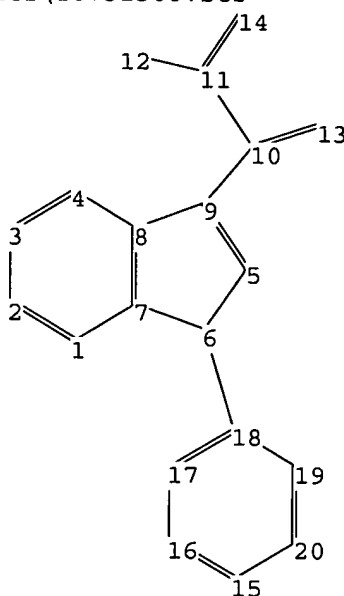
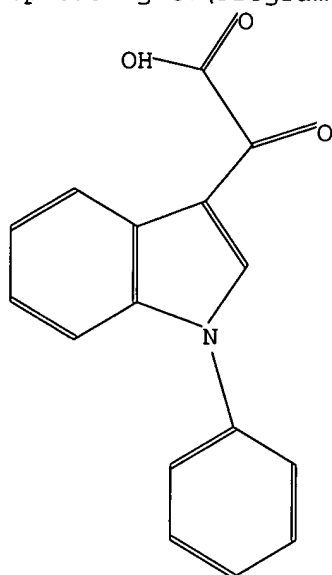
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10731308.str



chain nodes :

10 11 12 13 14

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20

chain bonds :

6-18 9-10 10-11 10-13 11-12 11-14

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20

exact/norm bonds :

5-6 6-7 6-18 10-13

exact bonds :

5-9 8-9 9-10 10-11

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14 15-16 15-20 16-17 17-18 18-19
19-20

isolated ring systems :

containing 1 : 15 :

Match level :

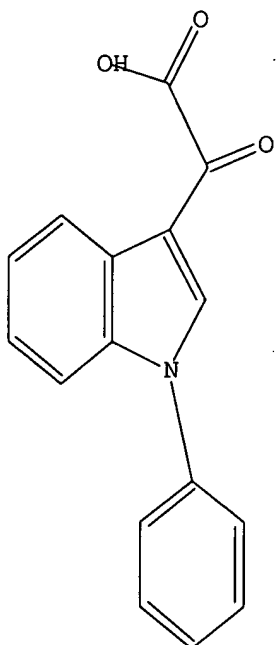
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:52:52 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

1 ANSWERS

05/14/2006 10731308.trn

FULL SEARCH INITIATED 12:52:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 171 TO ITERATE

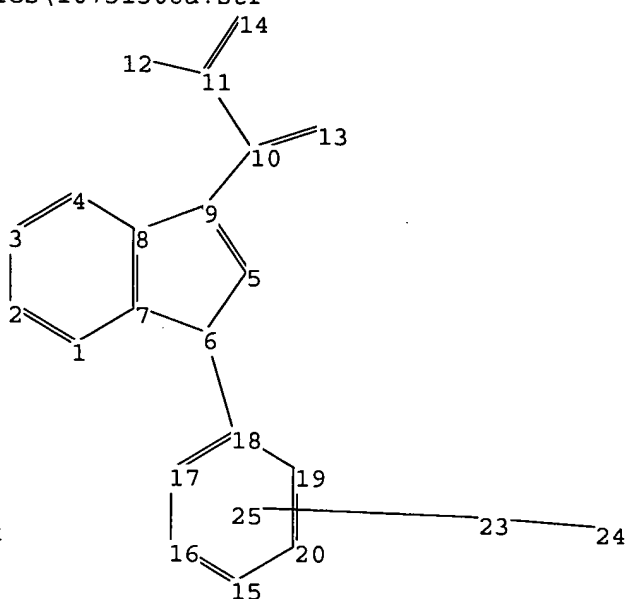
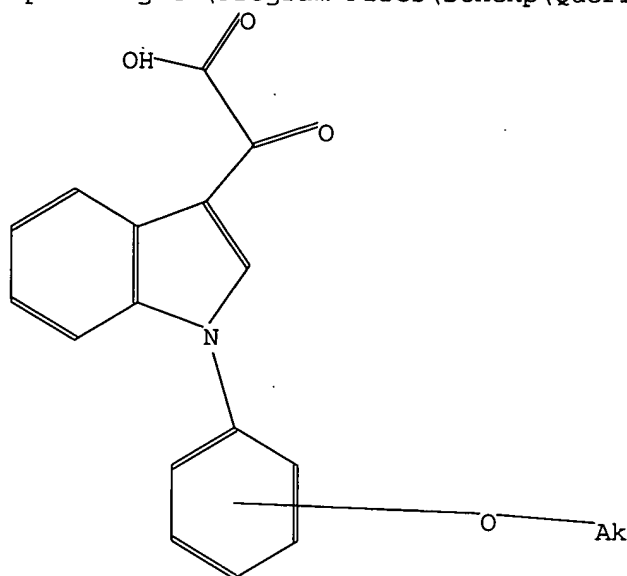
100.0% PROCESSED 171 ITERATIONS
SEARCH TIME: 00.00.01

20 ANSWERS

L3 20 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10731308a.str



chain nodes :
10 11 12 13 14 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-18 9-10 10-11 10-13 11-12 11-14 23-24
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
5-6 6-7 6-18 10-13 23-24
exact bonds :
5-9 8-9 9-10 10-11
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14 15-16 15-20 16-17 17-18 18-19
19-20
isolated ring systems :
containing 1 : 15 :

G1:O,S,NH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 23:CLASS 24:CLASS 25:CLASS

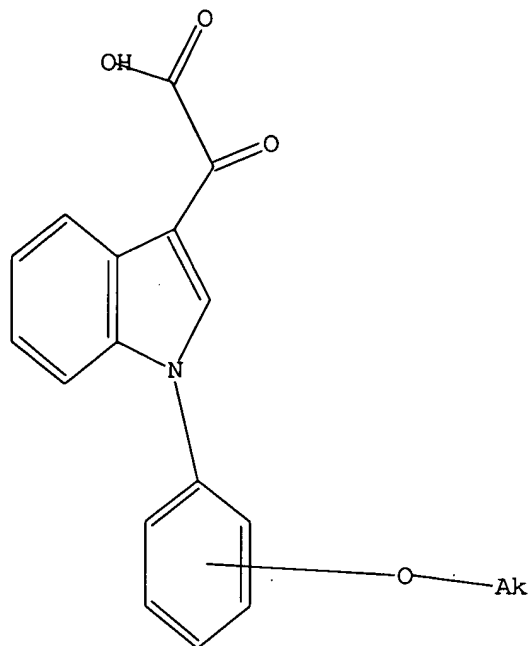
05/14/2006 10731308.trn

L4 STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4 STR



G1 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 12:56:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 12:56:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 171 TO ITERATE

100.0% PROCESSED 171 ITERATIONS

SEARCH TIME: 00.00.01

20 ANSWERS

05/14/2006 10731308.trn

L6 20 SEA SSS FUL L4

=> d his

(FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006)

FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 20 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 1 S L4
L6 20 S L4 SSS FULL

=> FIL HCAPLUS

~~COST IN U.S. DOLLARS~~

SINCE FILE	TOTAL
ENTRY	SESSION
336.08	336.29

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 12:56:47 ON 14 MAY 2006

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FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21

FILE LAST UPDATED: 12 May 2006 (20060512/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6

L7 1 L6

=> s l3

L8 1 L3

=> FIL REGISTRY

~~COST IN U.S. DOLLARS~~

SINCE FILE	TOTAL
ENTRY	SESSION
10.12	346.41

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:59:20 ON 14 MAY 2006

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STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4
 DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
```

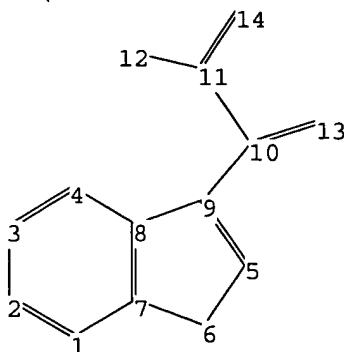
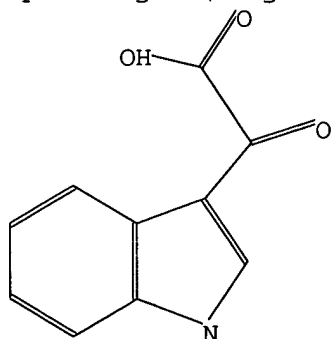
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10731308b.str



```
chain nodes :
10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-10 10-11 10-13 11-12 11-14
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 10-13
```


05/14/2006 10731308.trn

exact bonds :

5-9 8-9 9-10 10-11

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14

isolated ring systems :

containing 1 :

G1:O,S,NH

Match level :

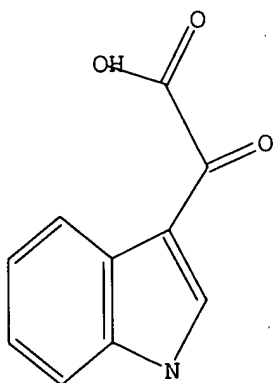
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 12:59:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 46 TO ITERATE

100.0% PROCESSED 46 ITERATIONS
SEARCH TIME: 00.00.01

10 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 514 TO 1326
PROJECTED ANSWERS: 11 TO 389

L10 10 SEA SSS SAM L9

=> s 19 sss full

10731308.trn

Page 9

13:02

05/14/2006 10731308.trn

FULL SEARCH INITIATED 12:59:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 938 TO ITERATE

100.0% PROCESSED 938 ITERATIONS
SEARCH TIME: 00.00.01

171 ANSWERS

L11 171 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
166.94	513.35

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 12:59:53 ON 14 MAY 2006
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FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 12 May 2006 (20060512/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l11

L12 ~~160 L11~~

=> s l12 and plasminogen inhibitor

27751 PLASMINOGEN
175 PLASMINOGENS
27761 PLASMINOGEN
(PLASMINOGEN OR PLASMINOGENS)
505775 INHIBITOR
515022 INHIBITORS
800779 INHIBITOR
(INHIBITOR OR INHIBITORS)
97 PLASMINOGEN INHIBITOR
(PLASMINOGEN(W) INHIBITOR)

L13 0 L12 AND PLASMINOGEN INHIBITOR

=> s l12 and plasminogen

27751 PLASMINOGEN
175 PLASMINOGENS
27761 PLASMINOGEN
(PLASMINOGEN OR PLASMINOGENS)

L14 8 L12 AND PLASMINOGEN

=> d his

(FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006)

FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 20 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 1 S L4
L6 20 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:56:47 ON 14 MAY 2006

~~L7 1 S L6~~
~~L8 1 S L3~~

FILE 'REGISTRY' ENTERED AT 12:59:20 ON 14 MAY 2006

L9 STRUCTURE UPLOADED
L10 10 S L9
L11 171 S L9 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:59:53 ON 14 MAY 2006

L12 160 S L11
L13 0 S L12 AND PLASMINOGEN INHIBITOR
L14 8 S L12 AND PLASMINOGEN

=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515481 HCAPLUS

DOCUMENT NUMBER: 141:71442

TITLE: Preparation of aryl, aryloxy, and alkyloxy substituted
1H-indol-3-yl glyoxylic acid derivatives as inhibitors
of plasminogen activator inhibitor-1 (PAI-1)

INVENTOR(S): Jennings, Lee Dalton; Elokda, Hassan Mahmoud;
McFarlane, Geraldine Ruth

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

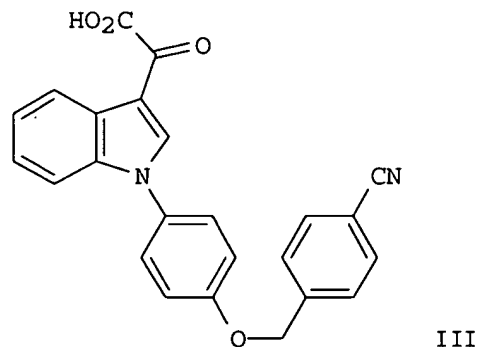
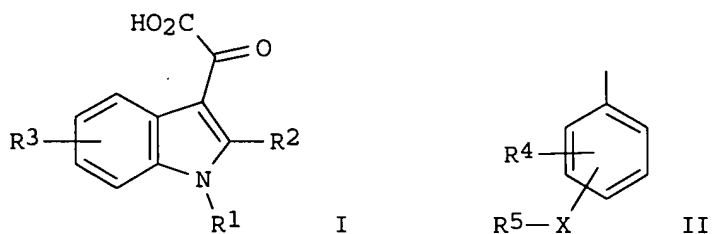
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052854	A2	20040624	WO 2003-US38934	20031209
WO 2004052854	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2509191	AA	20040624	CA 2003-2509191	20031209
AU 2003296324	A1	20040630	AU 2003-296324	20031209
US 2004138283	A1	20040715	US 2003-731308	20031209
EP 1569901	A2	20050907	EP 2003-812847	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016585	A	20051004	BR 2003-16585	20031209
JP 2006510673	T2	20060330	JP 2004-559413	20031209
PRIORITY APPLN. INFO.:			US 2002-432329P	P 20021210
			WO 2003-US38934	W 20031209

OTHER SOURCE(S): MARPAT 141:71442
GI



AB The title compds. [I; $\text{R}^1 = \text{II}$ (wherein $\text{R}^4 = \text{H}$, halo, alkyl, etc.; $\text{X} = \text{O}$, S, NH; $\text{R}^5 = \text{alkyl}$, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; $\text{R}^2 = \text{H}$, alkyl, cycloalkyl, etc.; $\text{R}^3 = \text{H}$, halo, alkyl, etc.], useful as inhibitors of plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μM , was given. The pharmaceutical composition comprising the compound I is claimed.

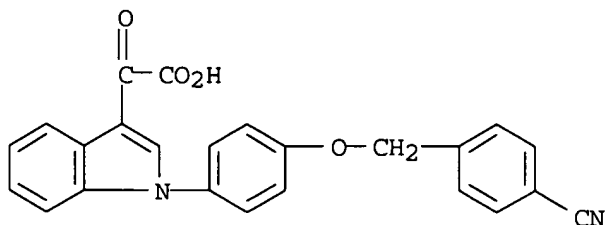
IT 710980-16-8P 710980-25-9P 710980-34-0P
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710980-61-3P 710980-68-0P 710980-75-9P
710980-80-6P 710980-85-1P 710980-90-8P
710980-95-3P 710981-00-3P 710981-04-7P
710981-10-5P 710981-16-1P 710981-23-0P
710981-32-1P 710981-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

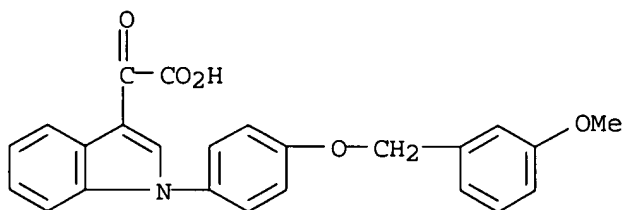
RN 710980-16-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



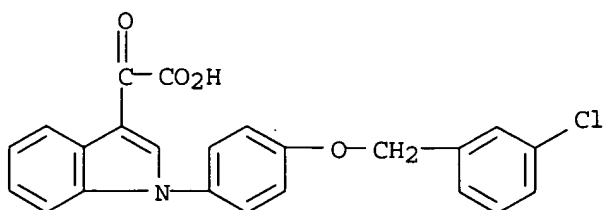
RN 710980-25-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-methoxyphenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



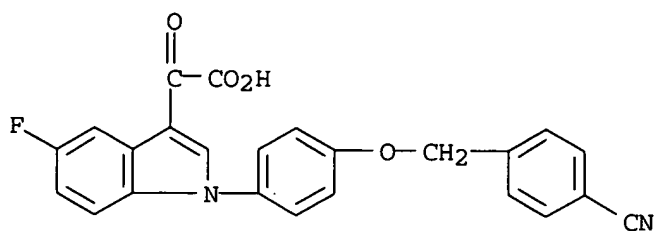
RN 710980-34-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



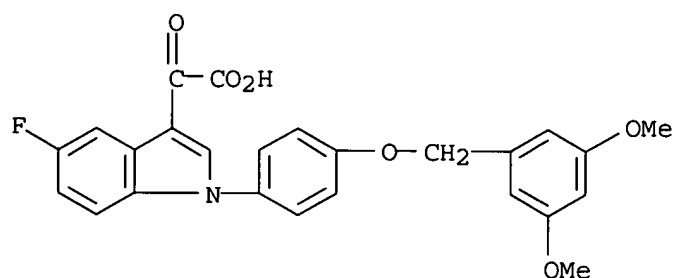
RN 710980-42-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-5-fluoro- α -oxo- (9CI) (CA INDEX NAME)



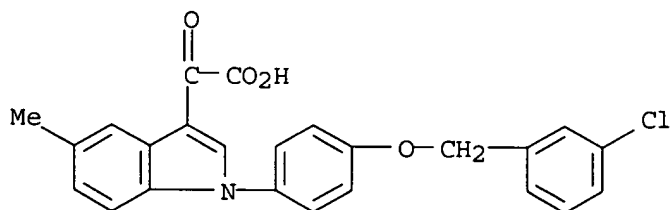
RN 710980-48-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-5-fluoro- α -oxo- (9CI) (CA INDEX NAME)



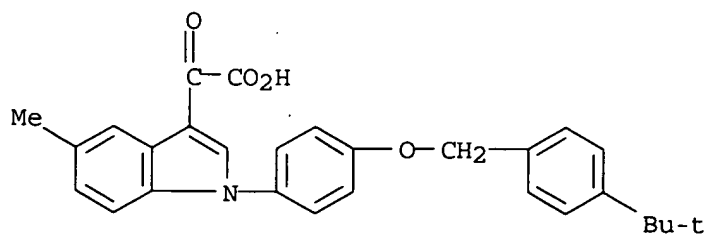
RN 710980-54-4 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]-5-methyl- α -oxo- (9CI) (CA INDEX NAME)



RN 710980-61-3 HCAPLUS

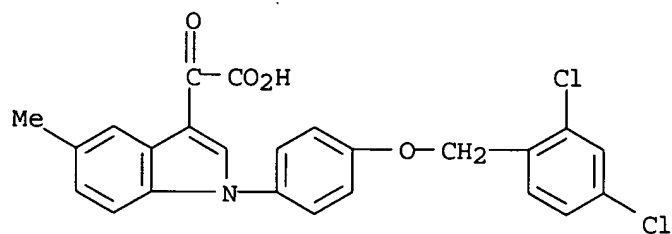
CN 1H-Indole-3-acetic acid, 1-[4-[[4-(1,1-dimethylethyl)phenyl]methoxy]phenyl]-5-methyl- α -oxo- (9CI) (CA INDEX NAME)



RN 710980-68-0 HCAPLUS

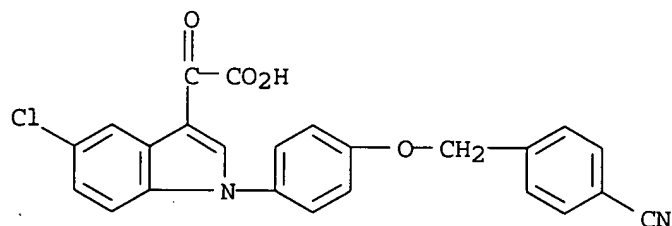
CN 1H-Indole-3-acetic acid, 1-[4-[(2,4-dichlorophenyl)methoxy]phenyl]-5-

methyl- α -oxo- (9CI) (CA INDEX NAME)



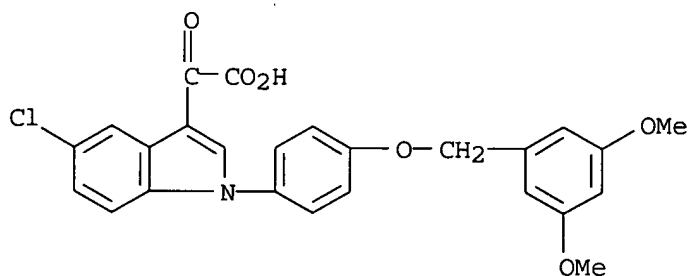
RN 710980-75-9 HCAPLUS

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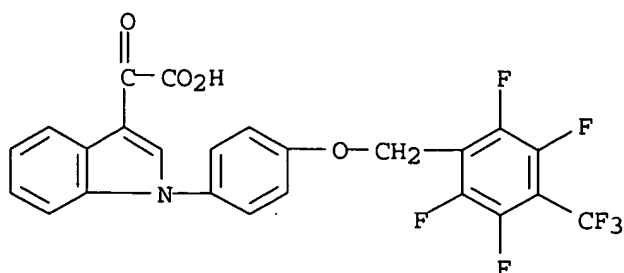
RN 710980-80-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



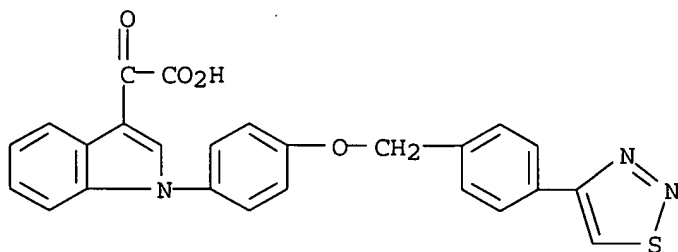
RN 710980-85-1 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-[4-[(2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



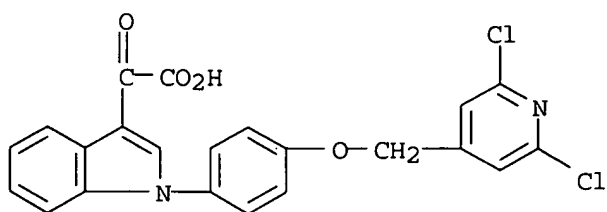
RN 710980-90-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



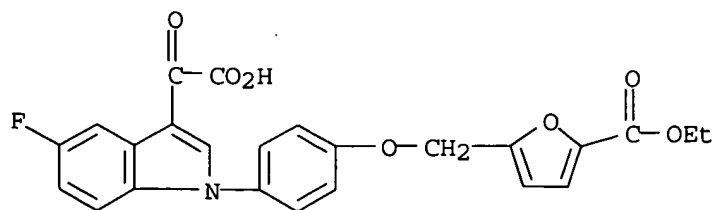
RN 710980-95-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



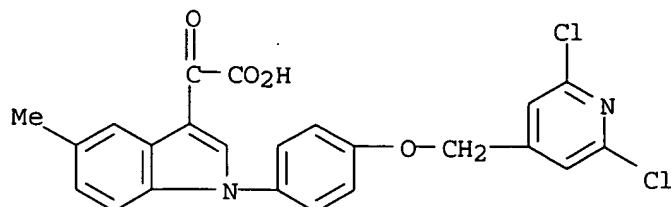
RN 710981-00-3 HCAPLUS

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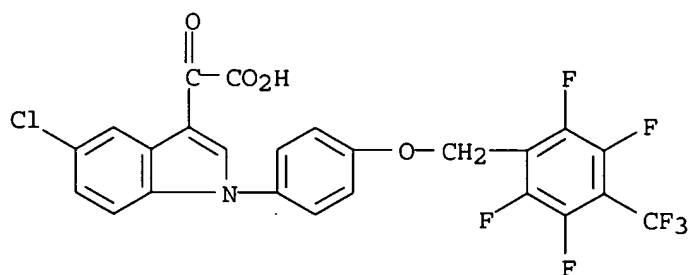


RN 710981-04-7 HCAPLUS

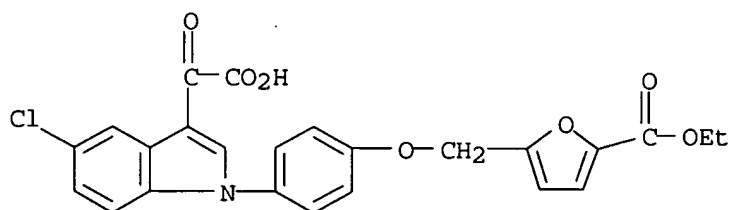
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-5-

methyl- α -oxo- (9CI) (CA INDEX NAME)

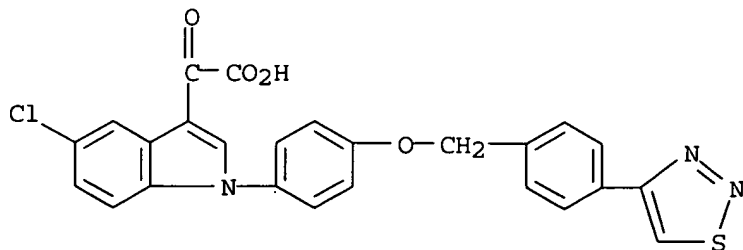
RN 710981-10-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro- α -oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 710981-16-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)

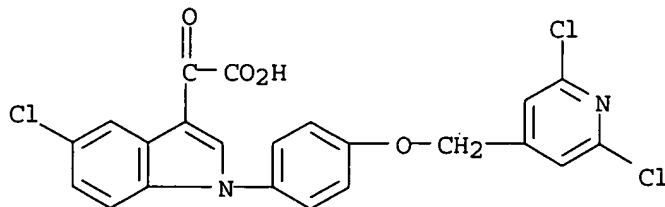
RN 710981-23-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro- α -oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

05/14/2006 10731308.trn

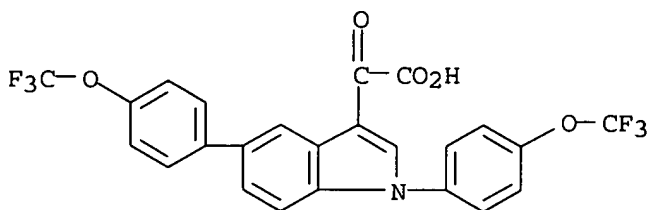
RN 710981-32-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



RN 710981-41-2 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1,5-bis[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515481 HCAPLUS

DOCUMENT NUMBER: 141:71442

TITLE: Preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)

INVENTOR(S): Jennings, Lee Dalton; Elokda, Hassan Mahmoud; McFarlane, Geraldine Ruth

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052854	A2	20040624	WO-2003-US38934	20031209
WO 2004052854	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
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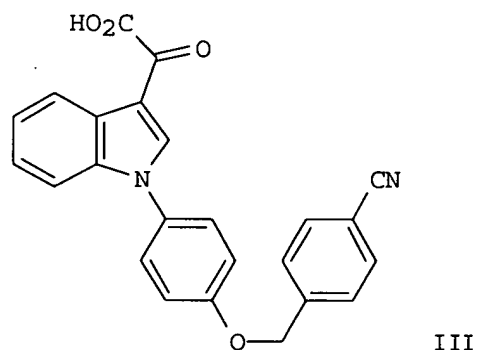
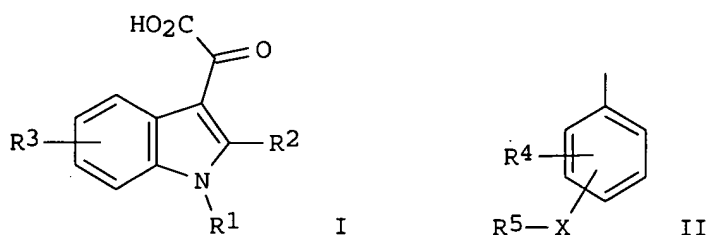
CA 2509191	AA	20040624	CA 2003-2509191	20031209
AU 2003296324	A1	20040630	AU 2003-296324	20031209
US 2004138283	A1	20040715	US 2003-731308	20031209
EP 1569901	A2	20050907	EP 2003-812847	20031209

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003016585	A	20051004	BR 2003-16585	20031209
JP 2006510673	T2	20060330	JP 2004-559413	20031209

PRIORITY APPLN. INFO.: US 2002-432329P P 20021210
 WO 2003-US38934 W 20031209

OTHER SOURCE(S): MARPAT 141:71442
 GI



AB The title compds. [I; R_1 = II (wherein R_4 = H, halo, alkyl, etc.; X = O, S, NH; R_5 = alkyl, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; R_2 = H, alkyl, cycloalkyl, etc.; R_3 = H, halo, alkyl, etc.], useful as inhibitors of plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μM , was given. The pharmaceutical composition comprising the compound I is claimed.

IT 710980-16-8P 710980-25-9P 710980-34-0P
 710980-42-0P 710980-48-6P 710980-54-4P
 710980-61-3P 710980-68-0P 710980-75-9P
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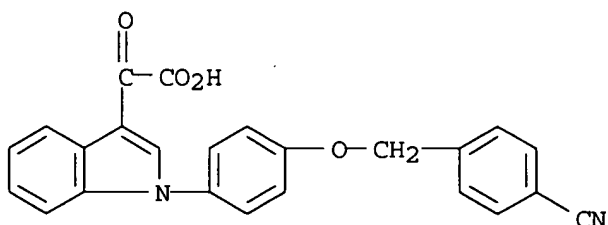
710980-95-3P 710981-00-3P 710981-04-7P
710981-10-5P 710981-16-1P 710981-23-0P
710981-32-1P 710981-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl
glyoxylic acid derivs. as inhibitors of plasminogen activator
inhibitor-1 (PAI-1))

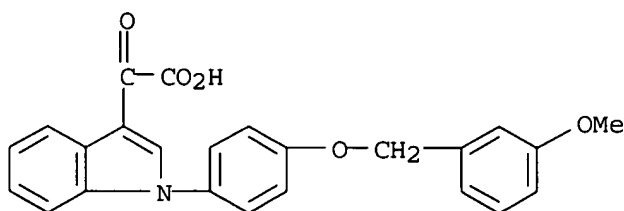
RN 710980-16-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]- α -oxo-
(9CI) (CA INDEX NAME)



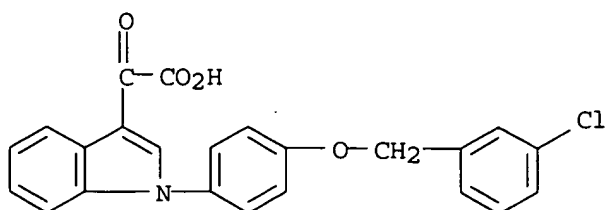
RN 710980-25-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-methoxyphenyl)methoxy]phenyl]- α -oxo-
(9CI) (CA INDEX NAME)



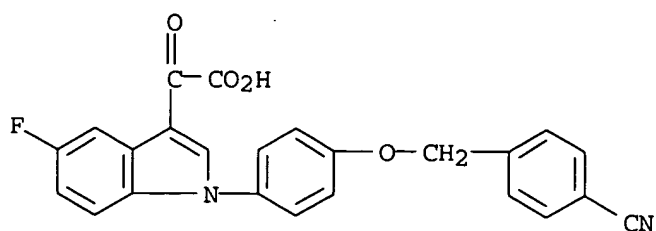
RN 710980-34-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]- α -oxo-
(9CI) (CA INDEX NAME)

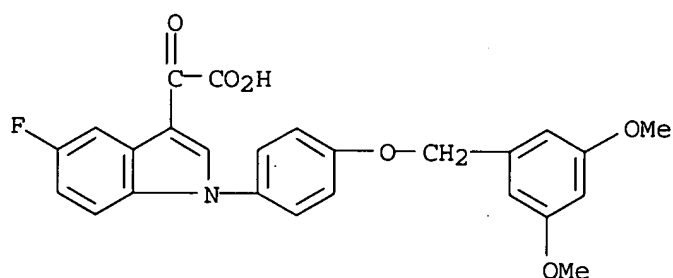


RN 710980-42-0 HCAPLUS

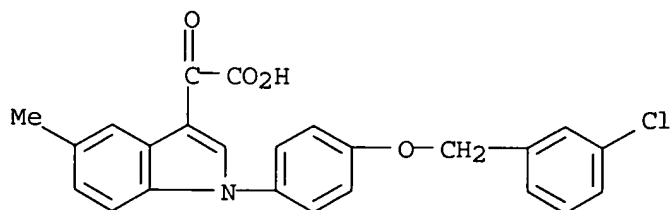
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-5-fluoro-
 α -oxo- (9CI) (CA INDEX NAME)



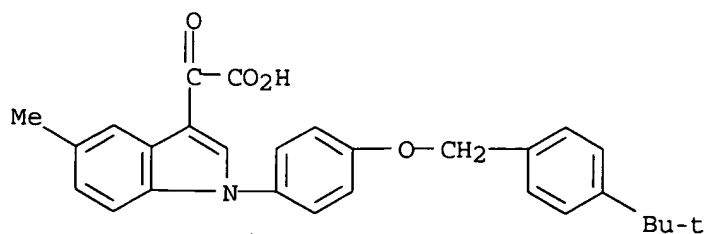
RN 710980-48-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-5-fluoro- α -oxo- (9CI) (CA INDEX NAME)

RN 710980-54-4 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]-5-methyl- α -oxo- (9CI) (CA INDEX NAME)

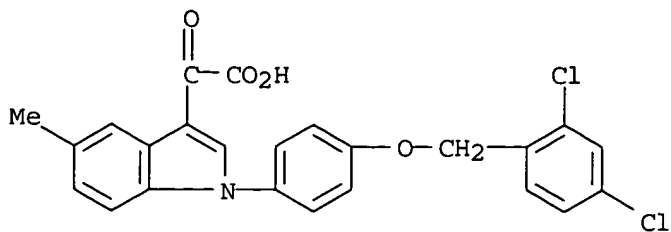
RN 710980-61-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[4-(1,1-dimethylethyl)phenyl]methoxy]phenyl]-5-methyl- α -oxo- (9CI) (CA INDEX NAME)

RN 710980-68-0 HCAPLUS

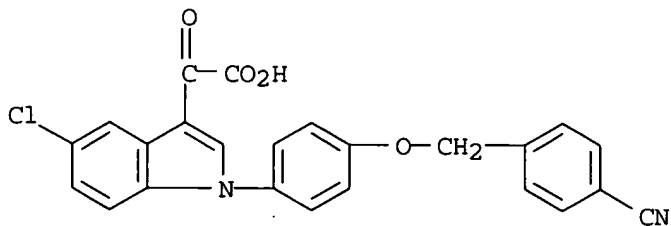
CN 1H-Indole-3-acetic acid, 1-[4-[(2,4-dichlorophenyl)methoxy]phenyl]-5-

10731308.trn

methyl- α -oxo- (9CI) (CA INDEX NAME)

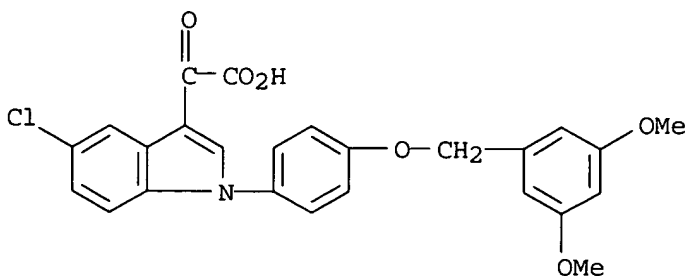
710980-75-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(4-cyanophenyl)methoxy]phenyl]-
α-oxo- (9CI) (CA INDEX NAME)



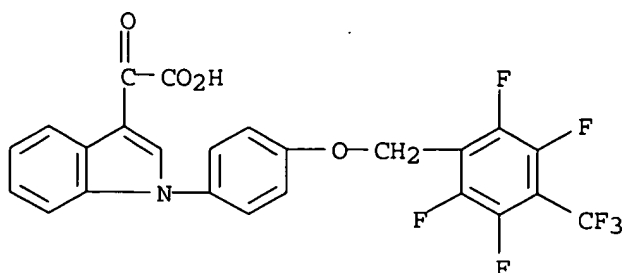
710980-80-6 HCAPLUS

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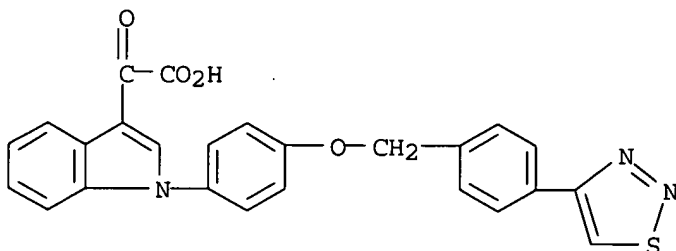


710980-85-1 HCAPLUS

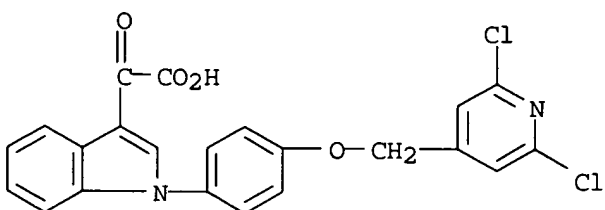
CN 1H-Indole-3-acetic acid, α -oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl] - (9CI) (CA INDEX NAME)



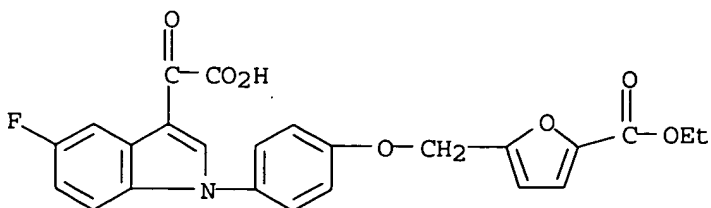
RN 710980-90-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 710980-95-3 HCAPLUS

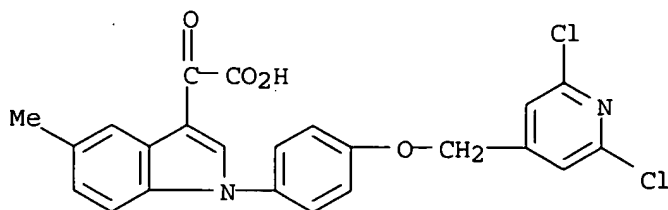
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)

RN 710981-00-3 HCAPLUS

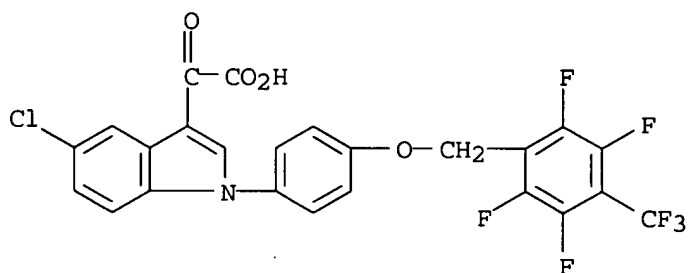
CN 1H-Indole-3-acetic acid, 1-[4-[[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]-5-fluoro- α -oxo- (9CI) (CA INDEX NAME)

RN 710981-04-7 HCAPLUS

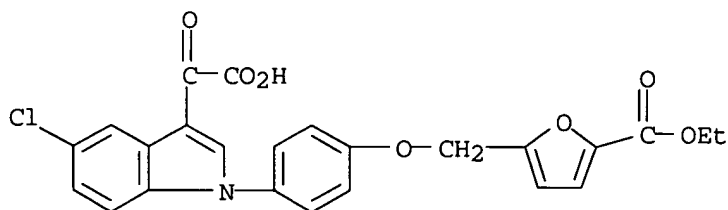
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-5-

methyl- α -oxo- (9CI) (CA INDEX NAME)

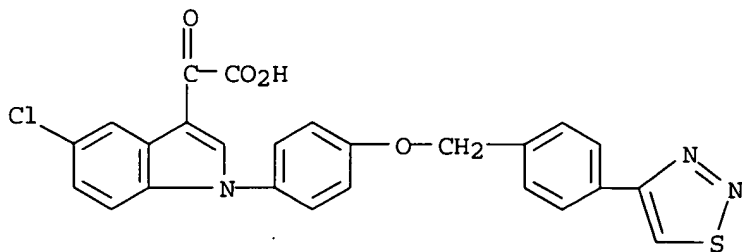
RN 710981-10-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro- α -oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 710981-16-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)

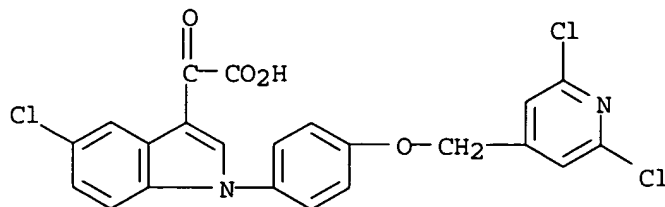
RN 710981-23-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro- α -oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

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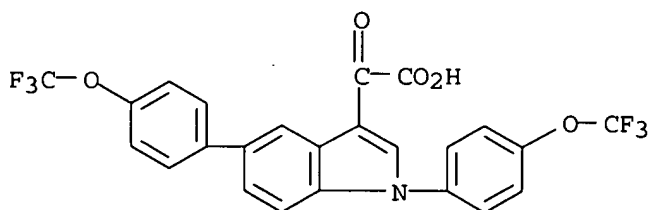
RN 710981-32-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



RN 710981-41-2 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1,5-bis[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



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L14 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:231514 HCAPLUS

DOCUMENT NUMBER: 144:286214

TITLE: Therapeutic methods employing plasminogen activator inhibitor 1 (PAI-1) inhibitors and transgenic non-human animal for screening candidate PAI-1 inhibitors

INVENTOR(S): Vaughan, Douglas E.; Eren, Mesut

PATENT ASSIGNEE(S): Vanderbilt University, USA

SOURCE: U.S. Pat. Appl. Publ., 57 pp., Cont.-in-part of U.S. Ser. No. 368,995.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006058369	A1	20060316	US 2005-171083	20050629
US 2003217371	A1	20031120	US 2003-368995	20030219
PRIORITY APPLN. INFO.:			US 2002-358061P	P 20020219
			US 2003-368995	A2 20030219

AB A method is disclosed for treating a warm-blooded vertebrate animal having a medical condition in need of treatment with a composition that exhibits PAI-1 inhibition activity. The method includes administering a treatment-effective amount of the composition to a warm-blooded vertebrate animal

having a medical condition selected from the group consisting of alopecia, undesired weight loss, Alzheimer's Disease, systemic amyloidosis, myelofibrosis, male pattern baldness, glomerulosclerosis, veno-occlusive disease (VOD), pulmonary fibrosis, obesity, non-alc. steatohepatitis (NASH), osteoporosis, osteopenia, polycystic ovarian syndrome (PCOS), and combinations thereof; and observing an improvement in the medical condition in the warm-blooded vertebrate animal having the medical condition. A method for testing a candidate composition for PAI-1 inhibition activity is also disclosed. The method includes obtaining a transgenic non-human warm-blooded vertebrate animal having incorporated into its genome a PAI-1 gene encoding a biol. active PAI-1 polypeptide, the PAI-1 gene being present in the genome in a copy number effective to confer overexpression in the transgenic non-human animal of the PAI-1 polypeptide; administering the composition to the transgenic non-human animal; and observing the transgenic non-human animal for determination of a change in

the

transgenic non-human animal indicative of inhibition of the activity of PAI-1. A transgenic non-human animal useful in such a method is also disclosed, as is a PAI-1 transgene construct encoding a biol. active PAI-1 polypeptide useful for preparing the transgenic non-human animal.

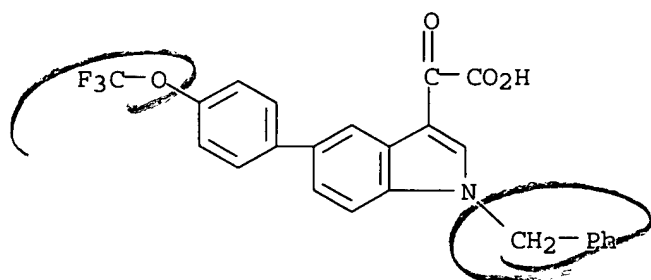
IT 393105-53-8, Tiplaxtinin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic **plasminogen** activator inhibitor 1 (PAI-1) inhibitors and transgenic non-human animal for screening candidate PAI-1 inhibitors)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:700118 HCAPLUS

DOCUMENT NUMBER: 143:186459

TITLE: Evaluation of PAI-039 [{1-benzyl-5-[4-(trifluoromethoxy)phenyl]-1H-indol-3-yl} (oxo)acetic acid], a novel **plasminogen** activator inhibitor-1 inhibitor, in a canine model of coronary artery thrombosis

AUTHOR(S): Hennen, James K.; Elokda, Hassan; Leal, Mauricio; Ji, Allena; Friedrichs, S.; Morgan, Gwen A.; Swillo, Robert E.; Antrilli, Thomas M.; Hreha, Amy; Crandall, David L.

CORPORATE SOURCE: Cardiovascular and Metabolic Disease Research, Wyeth Research, Collegeville, PA, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 314(2), 710-716

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We tested a novel, orally active inhibitor of **plasminogen** activator inhibitor-1 (PAI-1) in a canine model of electrolytic injury. Dogs received by oral gavage either vehicle (control) or the PAI-1 inhibitor PAI-039 and were subjected to electrolytic injury of the coronary artery. PAI-039 caused prolongation in time to coronary occlusion (control, 31.7 ± 6.3 min; 3 mg/kg PAI-039, 66.0 ± 6.4 min; 10 mg/kg, 56.7 ± 7.4 min; $n = 5-6$; $p < 0.05$) and a reduced thrombus weight (control, 7.6 ± 1.5 mg; 10 mg/kg PAI-039, 3.6 ± 1.0 mg; $p < 0.05$). Although occlusive thrombosis was observed across all groups based upon the absence of measurable blood flow, a high incidence ($>60\%$) of spontaneous reperfusion occurred only in those groups receiving PAI-039. Spontaneous reperfusion in the 10 mg/kg PAI-039 group accounted for total blood flow (area under the curve of coronary blood flow) of 99.6 ± 11.7 mL after initial thrombotic occlusion ($p < 0.05$ compared with control). Plasma PAI-1 activity was reduced in all drug-treated groups (percentage of reduction in activity $p < 0.05$; 10 mg/kg PAI-039), whereas ADP-, 9,11-dideoxy-11 α ,9 α -epoxymethanoprostaglandin F2 α (U46619)-, and collagen-induced platelet aggregation, as well as template bleeding and prothrombin time, remained unaffected by PAI-039. Ex vivo clot lysis anal. revealed normal clot formation but accelerated clot lysis in PAI-039-treated groups. The pharmacokinetic profile of PAI-039 indicated an oral bioavailability of $43 \pm 15.3\%$ and a plasma half-life of 6.2 ± 1.3 h. In conclusion, PAI-039 is an orally active prothrombolytic drug that inhibits PAI-1 and accelerates fibrinolysis while maintaining normal coagulation in a model of coronary occlusion.

IT 393105-53-8, PAI 039

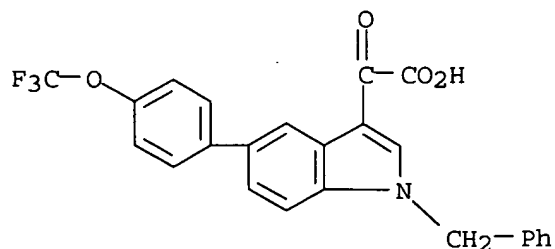
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(evaluation of PAI-039, a novel **plasminogen** activator

inhibitor-1 inhibitor, in a canine model of coronary artery thrombosis)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:61463 HCAPLUS

DOCUMENT NUMBER: 142:309533

TITLE: Pharmacological Inhibition and Genetic Deficiency of
Plasminogen Activator Inhibitor-1 Attenuates

AUTHOR(S): Angiotensin II/Salt-Induced Aortic Remodeling
Weisberg, Alec D.; Albornoz, Francisco; Griffin, Jane
P.; Crandall, David L.; Elokda, Hassan; Fogo, Agnes
B.; Vaughan, Douglas E.; Brown, Nancy J.
CORPORATE SOURCE: Department of Medicine, Divisions of Clinical
Pharmacology, Vanderbilt University Medical Center,
Nashville, TN, USA
SOURCE: Arteriosclerosis, Thrombosis, and Vascular Biology
(2005), 25(2), 365-371
CODEN: ATVBFA; ISSN: 1079-5642
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

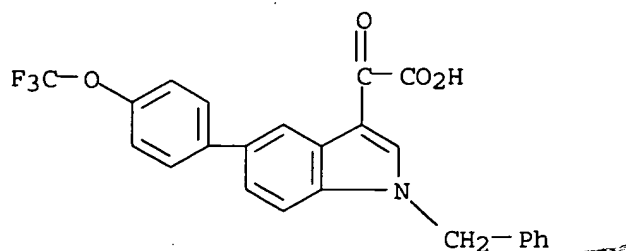
AB Objective- To test the hypothesis that pharmacol. **plasminogen**
activator inhibitor (PAI)-1 inhibition protects against
renin-angiotensin-aldosterone system-induced cardiovascular injury, the
effect of a novel orally active small-mol. PAI-1 inhibitor, PAI-039, was
examined in a mouse model of angiotensin (Ang) II-induced vascular
remodeling and cardiac fibrosis. Methods and Results- Uninephrectomized
male C57BL/6J mice were randomized to vehicle s.c., Ang II (1 µg/h)
s.c., vehicle+PAI-039 (1 mg/g chow), or Ang II+PAI-039 during high-salt
intake for 8 wk. Ang II caused significant medial, adventitial, and
aortic wall thickening compared with vehicle. PAI-039 attenuated Ang
II-induced aortic remodeling without altering the pressor response to Ang
II. Ang II increased heart/body weight ratio and cardiac fibrosis. PAI-039
did not attenuate the effect of Ang II on cardiac hypertrophy and
increased fibrosis. The effect of PAI-039 on Ang II/salt-induced aortic
remodeling and cardiac fibrosis was comparable to the effect of genetic
PAI-1 deficiency. Ang II increased aortic mRNA expression of PAI-1,
collagen I, collagen III, fibronectin, osteopontin, monocyte
chemoattractant protein-1, and F4/80. PAI-039 significantly decreased the
Ang II-induced increase in aortic osteopontin expression at 8 wk.
Conclusions- This study demonstrates that pharmacol. inhibition of PAI-1
protects against Ang II-induced aortic remodeling. Future studies are
needed to determine whether the interactive effect of Ang II/salt and reduced
PAI-1 activity on cardiac fibrosis is species-specific.

IT 393105-53-8; PAI 039

RL: PAC (Pharmacological activity); BIOL (Biological study)
(pharmacol. inhibition and genetic deficiency of **plasminogen**
activator inhibitor-1 attenuates angiotensin II/salt-induced aortic
remodeling)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-
(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

42

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515514 HCAPLUS

DOCUMENT NUMBER: 141:71529

TITLE: Preparation of substituted dihydropyranoindole-3,4-dione derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)

INVENTOR(S): Elokdah, Hassan Mahmoud; Li, David Zenan

PATENT ASSIGNEE(S): Wyeth, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

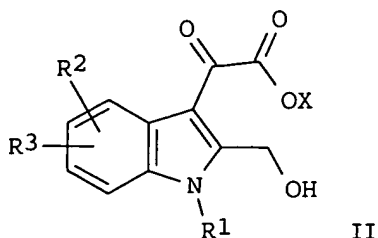
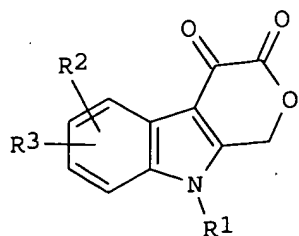
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052893	A2	20040624	WO 2003-US38932	20031209
WO 2004052893	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003296322	A1	20040630	AU 2003-296322	20031209
US 2005113436	A1	20050526	US 2003-731290	20031209
EP 1569639	A2	20050907	EP 2003-812845	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016586	A	20051011	BR 2003-16586	20031209
CN 1726029	A	20060125	CN 2003-80105734	20031209
JP 2006514641	T2	20060511	JP 2004-559411	20031209
NO 2005003340	A	20050912	NO 2005-3340	20050708
PRIORITY APPLN. INFO.:			US 2002-432327P	P 20021210
			WO 2003-US38932	W 20031209
OTHER SOURCE(S):			MARPAT 141:71529	
GI				



AB The title compds. [I and II; X = H, alkali metal or a basic amine moiety; R1 = alkyl, cycloalkyl, CH2(cycloalkyl), pyridinyl, CH2(pyridinyl), Ph,

CH₂Ph, the rings of these groups being optionally substituted; R₂ = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH₂(cycloalkyl), NH₂, NO₂; R₃ = Ph, CH₂Ph, OCH₂Ph, pyridinyl, CH₂(pyridinyl), etc., with the rings of these groups being optionally substituted] or a pharmaceutically acceptable salt or ester forms thereof, useful as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 7-step synthesis of 9-(4-methylbenzyl)-6-[4-(trifluoromethoxy)phenyl]-1,9-dihydropyrano[3,4-b]indole-3,4-dione II, starting from Et 5-bromo-1H-indole-2-carboxylate and 4-methylbenzyl bromide, was given. The compound II showed IC₅₀ of 2.3 μM against human PAI-1. The pharmaceutical composition comprising the compound I is claimed.

IT 711010-51-4P 711010-80-9P 711010-81-0P

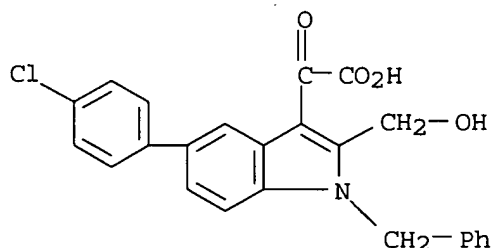
711010-82-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted dihydropyranoindole-3,4-dione derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

RN 711010-51-4 HCAPLUS

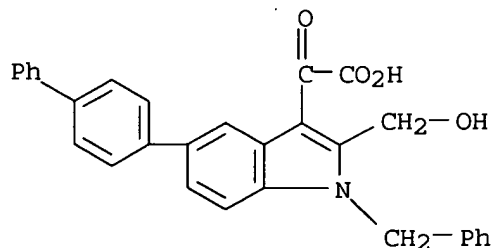
CN 1H-Indole-3-acetic acid, 5-(4-chlorophenyl)-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)



● K

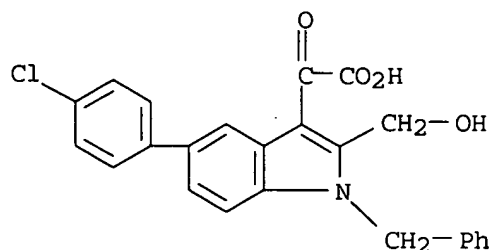
RN 711010-80-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[1,1'-biphenyl]-4-yl-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)

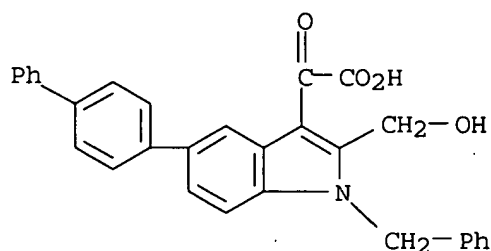


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RN 711010-81-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-(4-chlorophenyl)-2-(hydroxymethyl)- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 711010-82-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[1,1'-biphenyl]-4-yl-2-(hydroxymethyl)- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT 481629-94-1P 481629-95-2P 481629-96-3P

481629-97-4P 711010-57-0P 711010-61-6P

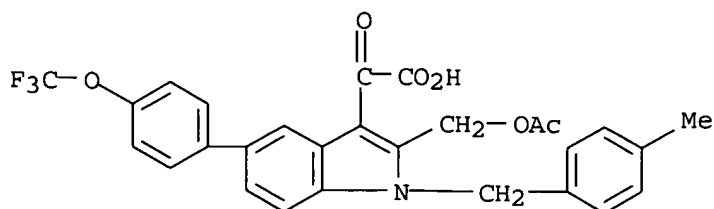
711010-64-9P 711010-65-0P 711010-67-2P

711010-71-8P 711010-75-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

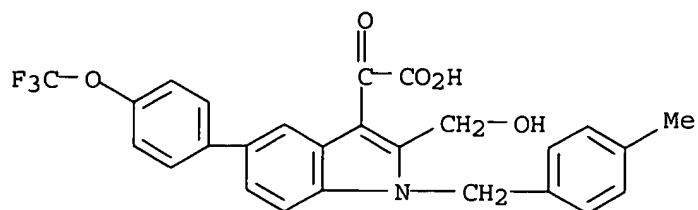
(preparation of substituted dihydropyranoindole-3,4-dione derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

RN 481629-94-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]- α -oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 481629-95-2 HCAPLUS

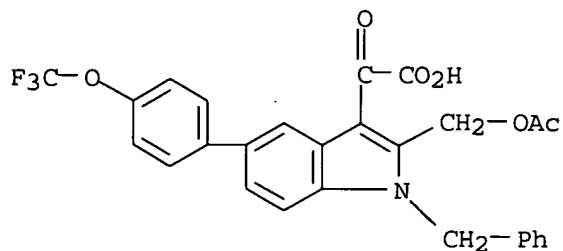
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-1-[(4-methylphenyl)methyl]- α -oxo-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)



● K

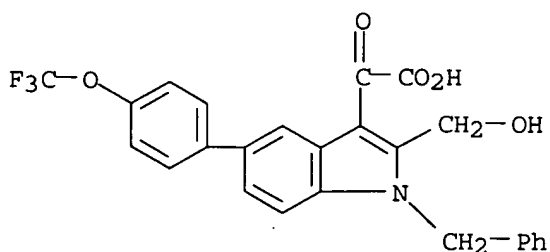
RN 481629-96-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-97-4 HCAPLUS

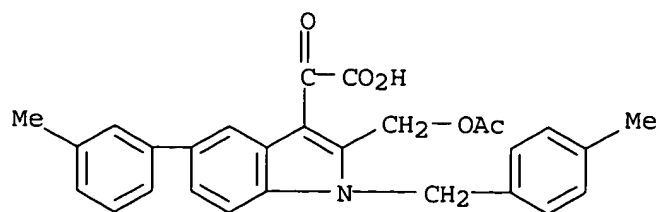
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)



● K

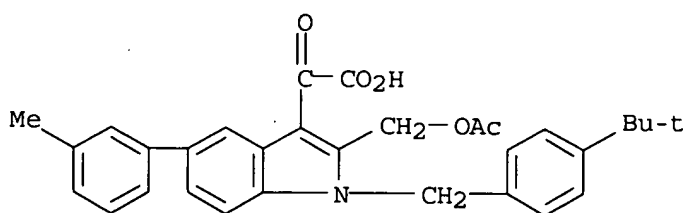
RN 711010-57-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-5-(3-methylphenyl)-1-[(4-methylphenyl)methyl]-α-oxo- (9CI) (CA INDEX NAME)



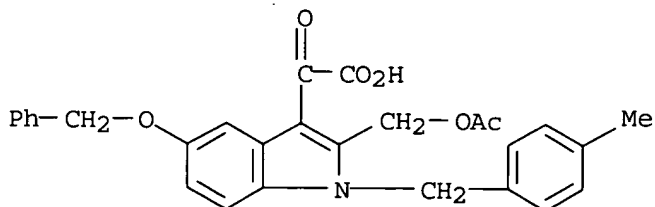
RN 711010-61-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)



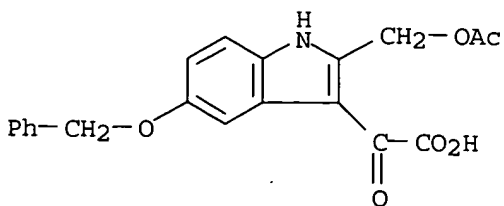
RN 711010-64-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



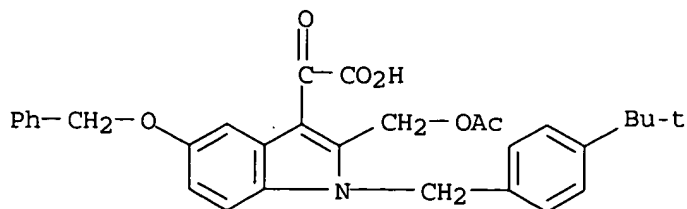
RN 711010-65-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



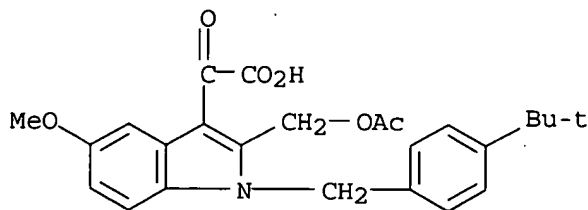
RN 711010-67-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



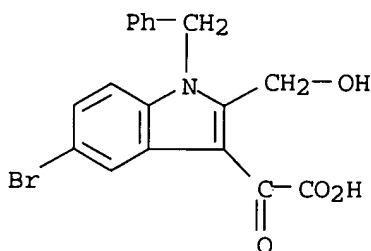
RN 711010-71-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-methoxy-α-oxo- (9CI) (CA INDEX NAME)



RN 711010-75-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-bromo-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)



● K

L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515481 HCAPLUS

DOCUMENT NUMBER: 141:71442

TITLE: Preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)

INVENTOR(S): Jennings, Lee Dalton; Elokda, Hassan Mahmoud; McFarlane, Geraldine Ruth

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

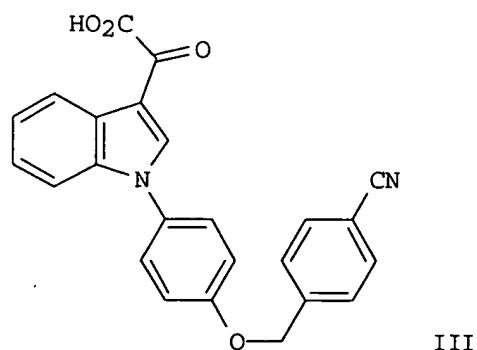
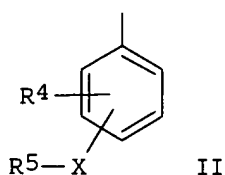
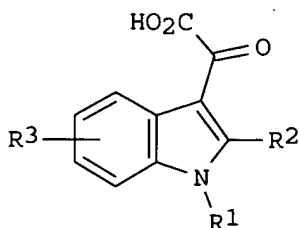
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052854	A2	20040624	WO 2003-US38934	20031209
WO 2004052854	A3	20040805		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509191	AA	20040624	CA 2003-2509191	20031209
AU 2003296324	A1	20040630	AU 2003-296324	20031209
US 2004138283	A1	20040715	US 2003-731308	20031209
EP 1569901	A2	20050907	EP 2003-812847	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016585	A	20051004	BR 2003-16585	20031209
JP 2006510673	T2	20060330	JP 2004-559413	20031209
PRIORITY APPLN. INFO.:			US 2002-432329P	P 20021210
			WO 2003-US38934	W 20031209
OTHER SOURCE(S):		MARPAT 141:71442		
GI				



AB The title compds. [I; R1 = II (wherein R4 = H, halo, alkyl, etc.; X = O, S, NH; R5 = alkyl, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.], useful as inhibitors of

plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μ M, was given. The pharmaceutical composition comprising the compound I is claimed.

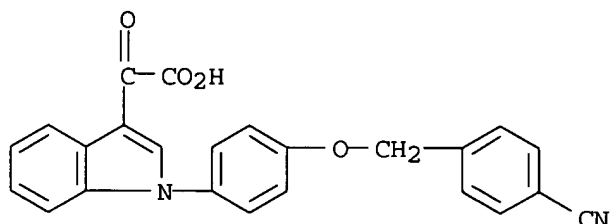
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 710981-98-9P 710982-06-2P 710982-12-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

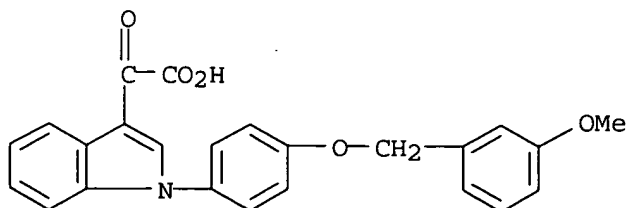
RN 710980-16-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



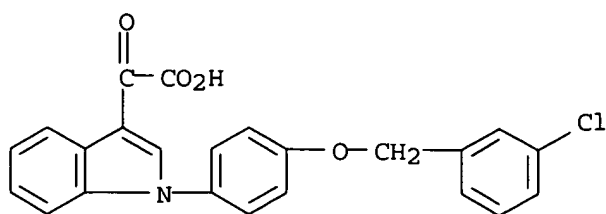
RN 710980-25-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(3-methoxyphenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)

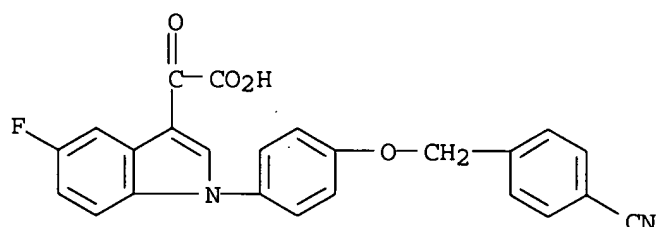


RN 710980-34-0 HCAPLUS

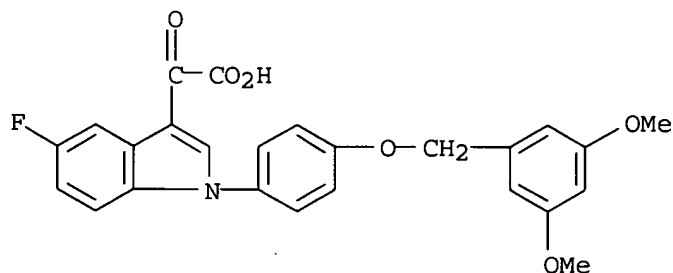
CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



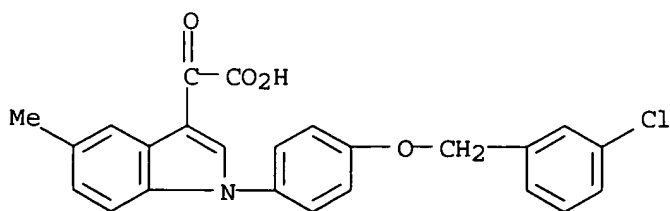
RN 710980-42-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-5-fluoro-
α-oxo- (9CI) (CA INDEX NAME)

RN 710980-48-6 HCAPLUS

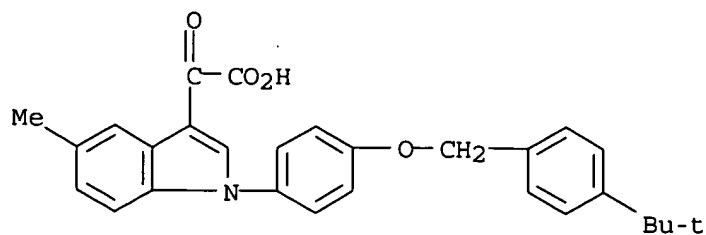
CN 1H-Indole-3-acetic acid, 1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-5-
fluoro-α-oxo- (9CI) (CA INDEX NAME)

RN 710980-54-4 HCAPLUS

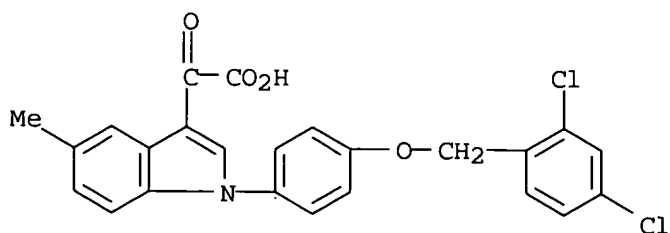
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RN 710980-61-3 HCAPLUS

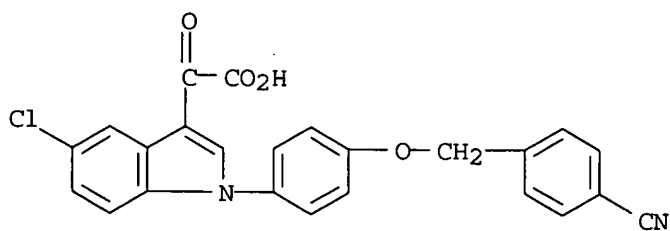
CN 1H-Indole-3-acetic acid, 1-[4-[[4-(1,1-dimethylethyl)phenyl]methoxy]phenyl]-5-
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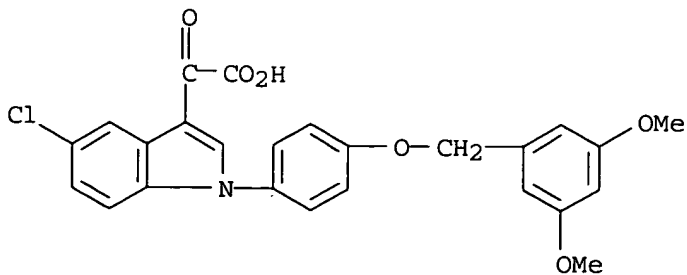
RN 710980-68-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(2,4-dichlorophenyl)methoxy]phenyl]-5-methyl- α -oxo- (9CI) (CA INDEX NAME)

RN 710980-75-9 HCAPLUS

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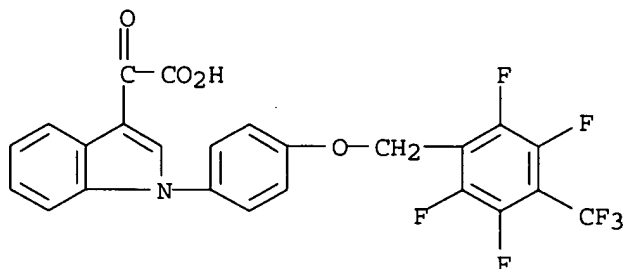
RN 710980-80-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)

05/14/2006 10731308.trn

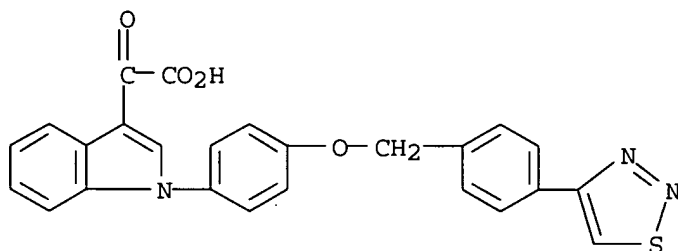
RN 710980-85-1 HCAPLUS

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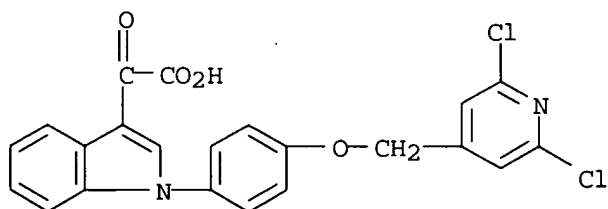
RN 710980-90-8 HCAPLUS

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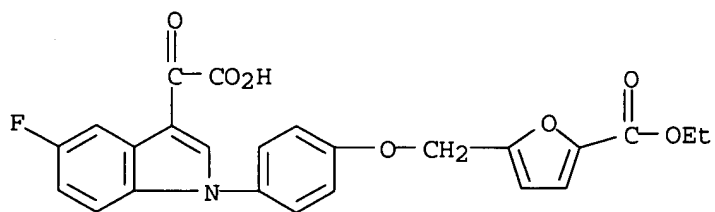
RN 710980-95-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]- α -oxo- (9CI) (CA INDEX NAME)



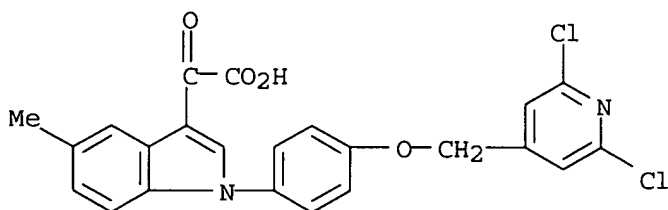
RN 710981-00-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]-5-fluoro- α -oxo- (9CI) (CA INDEX NAME)



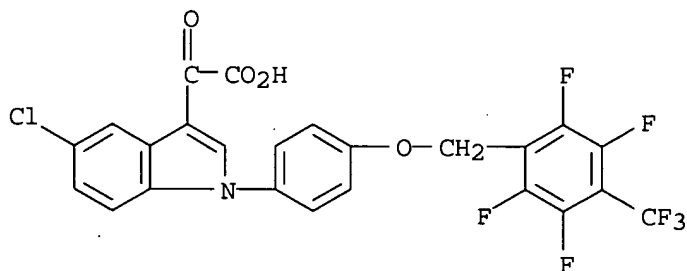
RN 710981-04-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-5-methyl-α-oxo- (9CI) (CA INDEX NAME)



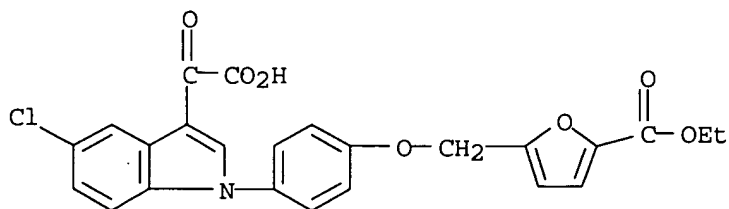
RN 710981-10-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-α-oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



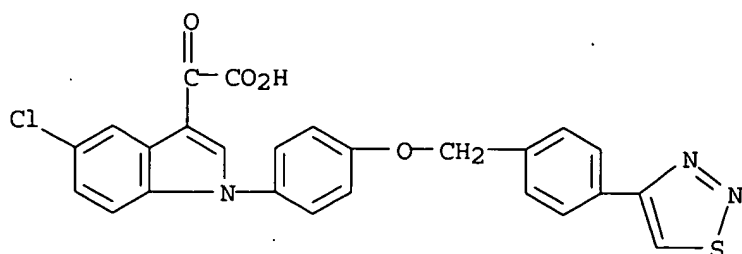
RN 710981-16-1 HCAPLUS

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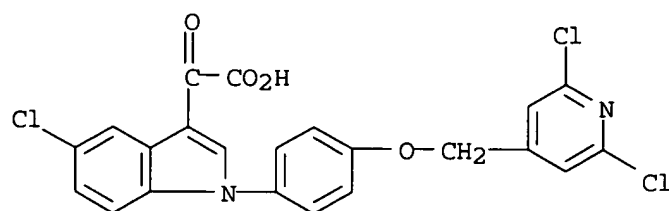
RN 710981-23-0 HCAPLUS

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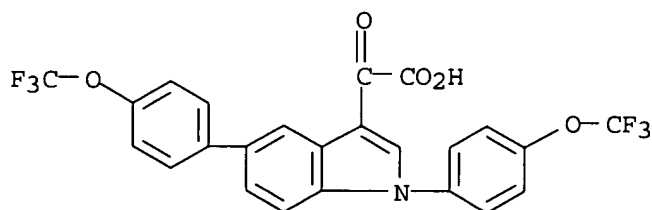
RN 710981-32-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



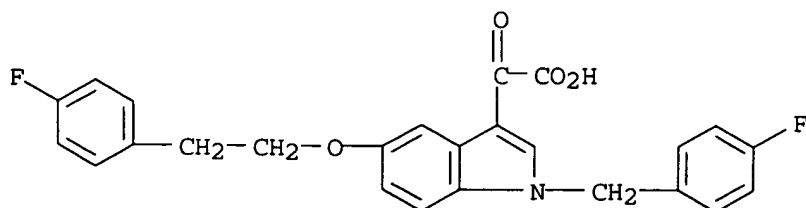
RN 710981-41-2 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1,5-bis[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



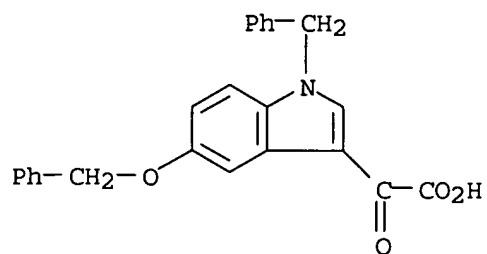
RN 710981-49-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[2-(4-fluorophenyl)ethoxy]-1-[(4-fluorophenyl)methyl]-α-oxo- (9CI) (CA INDEX NAME)



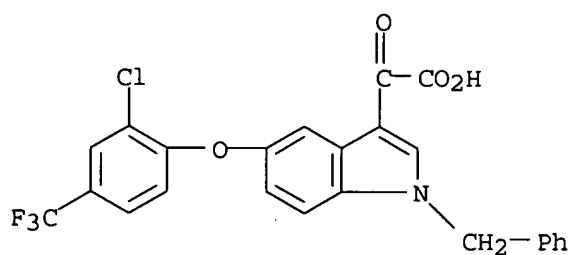
RN 710981-56-9 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-5-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



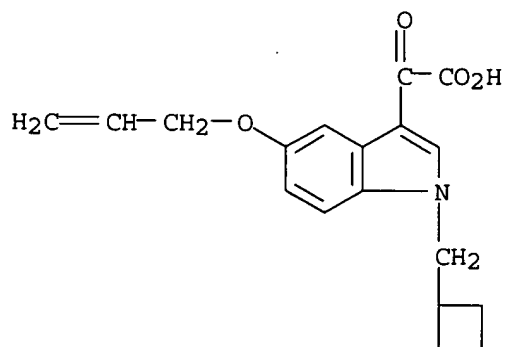
RN 710981-63-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



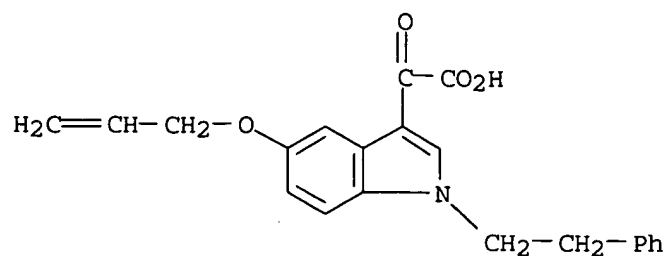
RN 710981-70-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(cyclobutylmethyl)-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



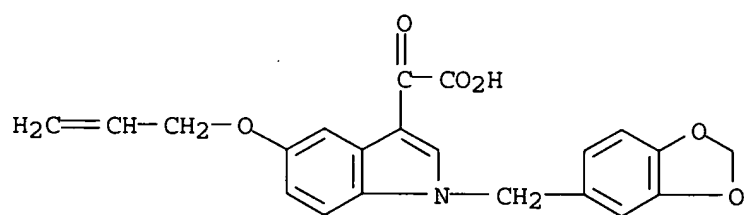
RN 710981-77-4 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(2-phenylethyl)-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



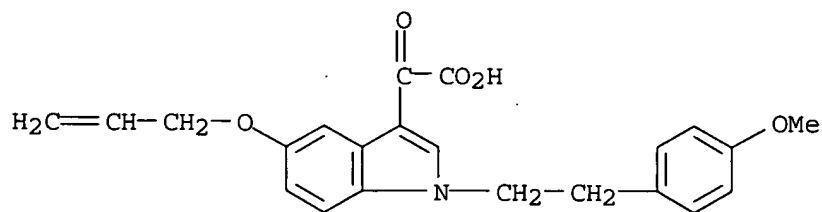
RN 710981-85-4 HCAPLUS

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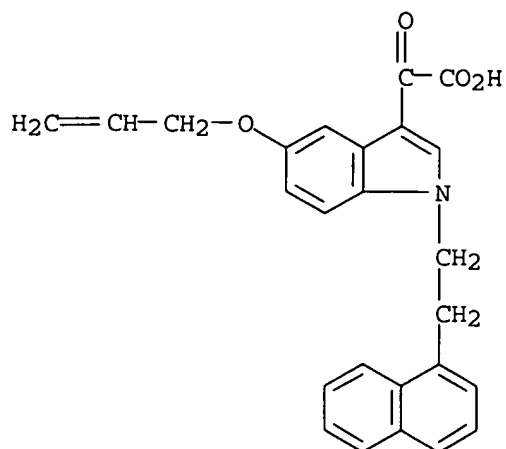
RN 710981-92-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[2-(4-methoxyphenyl)ethyl]-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)

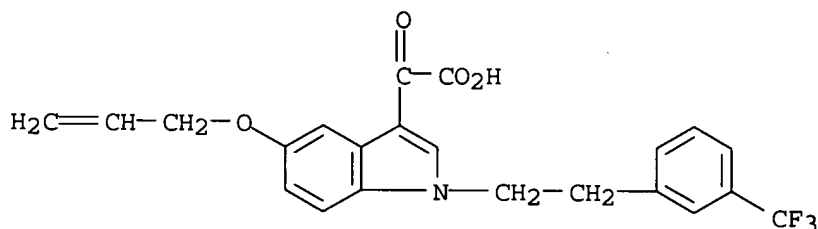


RN 710981-98-9 HCAPLUS

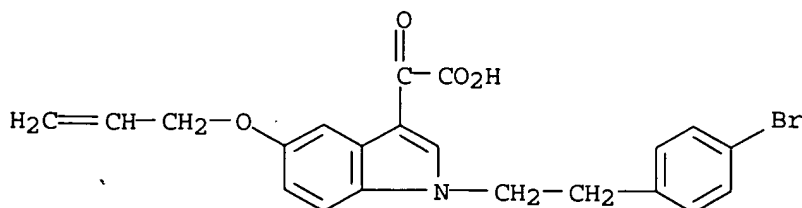
CN 1H-Indole-3-acetic acid, 1-[2-(1-naphthalenyl)ethyl]-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



RN 710982-06-2 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-5-(2-propenyloxy)-1-[2-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 710982-12-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[2-(4-bromophenyl)ethyl]- α -oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)

L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:493571 HCAPLUS

DOCUMENT NUMBER: 141:54194

TITLE: Preparation of substituted indolyloxoacetylaminooacetic acid derivatives as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1)

INVENTOR(S): Elokdah, Hassan Mahmoud; McFarlane, Geraldine Ruth; Li, David Zenan

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 13 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

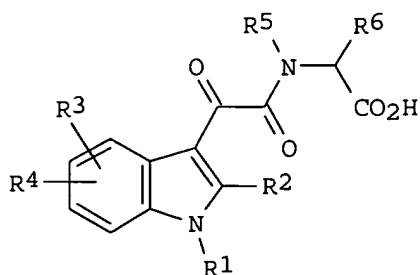
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116504	A1	20040617	US 2003-731074	20031209
CA 2509222	AA	20040624	CA 2003-2509222	20031209
WO 2004052856	A1	20040624	WO 2003-US38933	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296323	A1	20040630	AU 2003-296323	20031209
EP 1569902	A1	20050907	EP 2003-812846	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016584	A	20051004	BR 2003-16584	20031209
CN 1726191	A	20060125	CN 2003-80105736	20031209
JP 2006510672	T2	20060330	JP 2004-559412	20031209
PRIORITY APPLN. INFO.:			US 2002-432331P	P 20021210
			WO 2003-US38933	W 20031209
OTHER SOURCE(S):			MARPAT 141:54194	
GI				



AB The title compds. [I; R1 = alkyl, cycloalkyl, CH₂(cycloalkyl), pyridinyl, CH₂(pyridinyl), Ph, CH₂Ph; R2 = H, alkyl, cycloalkyl, CH₂(cycloalkyl), perfluoroalkyl; R3 = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH₂(cycloalkyl), NH₂, NO₂; R4 = Ph, CH₂Ph, OCH₂Ph, pyridinyl, CH₂(pyridinyl); R5 = H, alkyl, cycloalkyl, CH₂(cycloalkyl), perfluoroalkyl, aryl, alkylaryl; R6 = H, alkyl, hydroxyalkyl, 4-hydroxybenzyl, 3-indolylmethylene, 4-imidazolylmethylene, etc.; or R5 taken together with R6 = CH₂CH₂CH₂] which are inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) useful for treating fibrinolytic disorders, were prepared E.g., a multi-step synthesis of I [R1

= 4-tert-BuC6H4CH2; R2, R3 = H; R4 = 5-(3-MeC6H4); R5, R6 = H], starting from 5-bromoindole and 4-tert-butylbenzyl bromide, was given. The latter showed IC50 of 29 μ M against PAI-1. The pharmaceutical composition comprising the compound I is claimed.

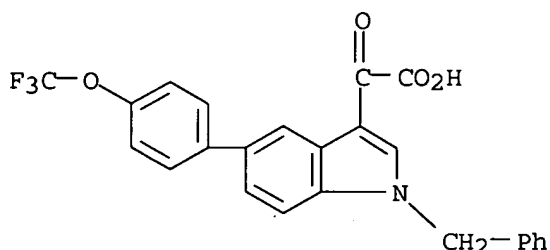
IT 393105-53-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted indolyloxoacetyl aminoacetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:459218 HCAPLUS

DOCUMENT NUMBER: 141:174039

TITLE: Tiplaxtinin, a Novel, Orally Efficacious Inhibitor of Plasminogen Activator Inhibitor-1: Design, Synthesis, and Preclinical Characterization

AUTHOR(S): Elokda, Hassan; Abou-Gharbia, Magid; Hennen, James K.; McFarlane, Geraldine; Mugford, Cheryl P.; Krishnamurthy, Girija; Crandall, David L.

CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(14), 3491-3494

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:174039

AB Indole oxoacetic acid derivs. were prepared and evaluated for in vitro binding to and inactivation of human plasminogen activator inhibitor-1 (PAI-1). SAR based on biochem., physiol., and pharmacokinetic attributes led to identification of tiplaxtinin as the optimal selective PAI-1 inhibitor. Tiplaxtinin exhibited in vivo oral efficacy in two different models of acute arterial thrombosis. The remarkable preclin. safety and metabolic stability profiles of tiplaxtinin led to advancing the compound to clin. trials.

IT 481629-59-8 481629-62-3 481629-70-3

481629-72-5 481629-73-6 481629-75-8

481629-87-2 481629-88-3 735271-95-1

735271-96-2

RL: PAC (Pharmacological activity); BIOL (Biological study) (screening of indole oxoacetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 and subsequent design,

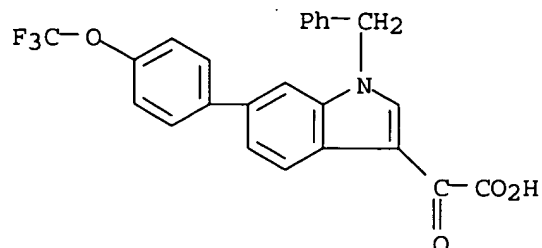
05/14/2006

10731308.trn

synthesis and preclin. evaluation of tiplaxtinin)

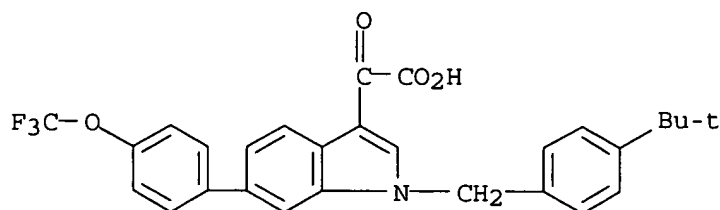
RN 481629-59-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



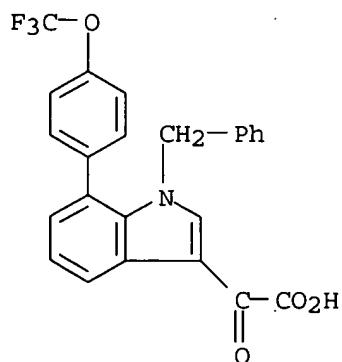
RN 481629-62-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



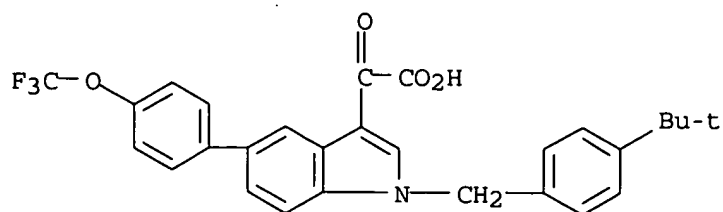
RN 481629-70-3 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-7-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



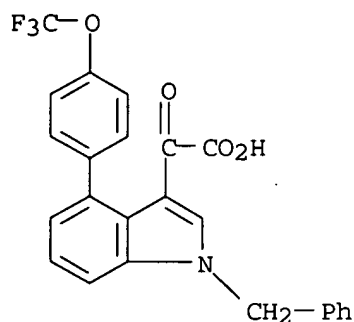
RN 481629-72-5 HCAPLUS

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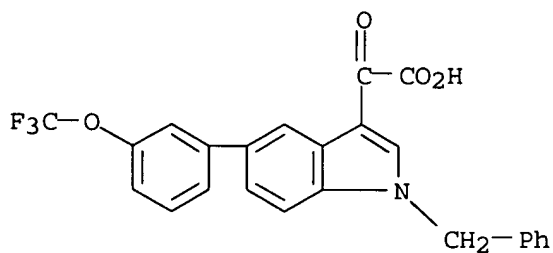
RN 481629-73-6 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-4-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



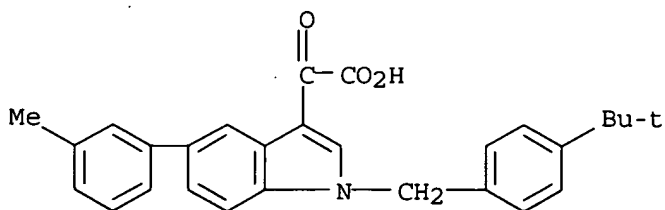
RN 481629-75-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



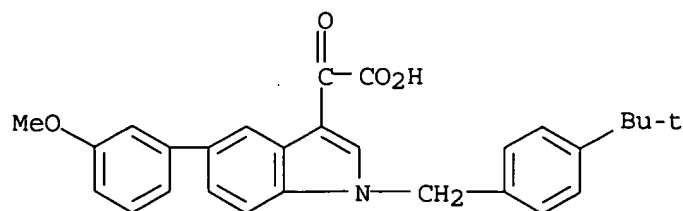
RN 481629-87-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)- α -oxo- (9CI) (CA INDEX NAME)



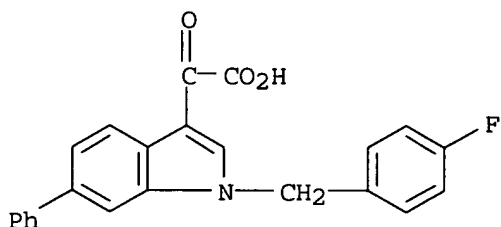
RN 481629-88-3 HCAPLUS

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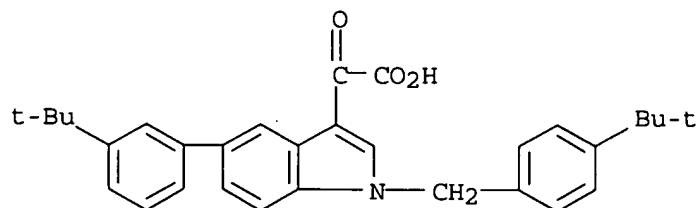
RN 735271-95-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]- α -oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 735271-96-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-(1,1-dimethylethyl)phenyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo- (9CI) (CA INDEX NAME)

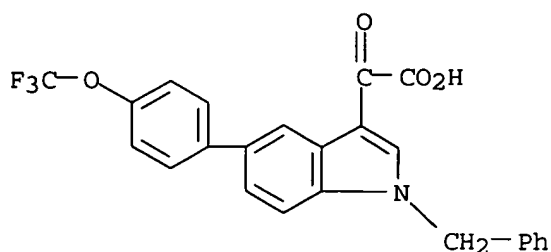


IT 393105-53-8P, Tiplaxtinin

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(screening of indole oxoacetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 and subsequent design, synthesis and preclin. evaluation of tiplaxtinin)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:5772 HCAPLUS

DOCUMENT NUMBER: 138:73172

TITLE: Preparation of substituted indole-3-acetic acids as inhibitors of plasminogen activator inhibitor-1 (PAI-1)

INVENTOR(S): Elokda, Hassan Mahmoud; McFarlane, Geraldine Ruth; Li, David Zenan; Jennings, Lee Dalton; Crandall, David Leroy

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

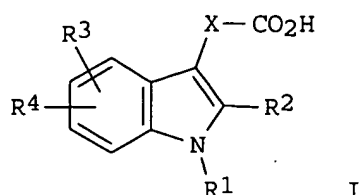
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000253	A1	20030103	WO 2002-US19344	20020618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125371	A1	20030703	US 2002-174159	20020618
EP 1397130	A1	20040317	EP 2002-744425	20020618
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JP 2004534817	T2	20041118	JP 2003-506899	20020618
PRIORITY APPLN. INFO.:			US 2001-299657P	P 20010620
			WO 2002-US19344	W 20020618
OTHER SOURCE(S):			MARPAT 138:73172	
GI				

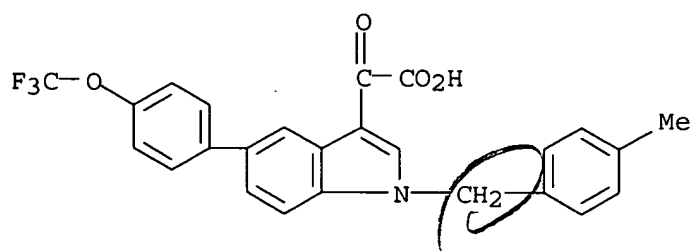


AB The title compds. [I; X = a bond, CH₂, CO; R₁ = alkyl, cycloalkyl, CH₂(cycloalkyl), pyridinyl, CH₂(pyridinyl), Ph, CH₂Ph; R₂ = H, alkyl, cycloalkyl, CH₂(cycloalkyl), perfluoroalkyl; R₃ = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH₂(cycloalkyl), NH₂, NO₂; R₄ = (un)substituted Ph, CH₂Ph, OCH₂Ph, pyridinyl, CH₂(pyridinyl)] or their salts or ester forms, useful as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of I [X = CO; R₁ = Me; R₂-R₃ = H; R₄ = 6-[4-(trifluoromethoxy)phenyl]], starting from 6-bromo-1H-indole and 4-trifluoromethoxyphenylboronic acid, which showed 15% inhibition of PAI-1 at 25 μM, was given.

IT **481629-79-2P 481629-94-1P 481629-96-3P**
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of indole-3-acetic acids as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

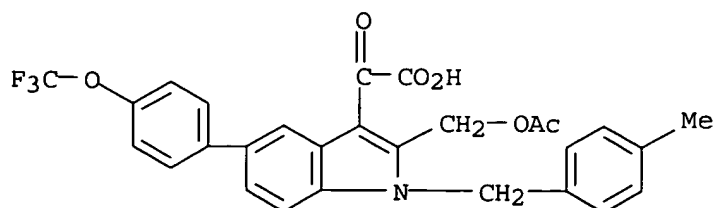
RN 481629-79-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-94-1 HCAPLUS

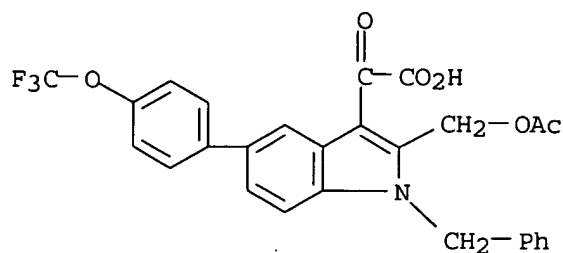
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-96-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-1-

(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



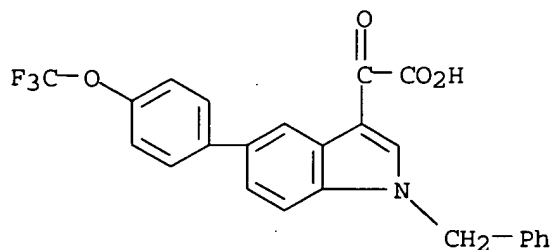
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 481629-63-4P 481629-64-5P 481629-65-6P
 481629-66-7P 481629-67-8P 481629-68-9P
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 481629-85-0P 481629-86-1P 481629-87-2P
 481629-88-3P 481629-89-4P 481629-90-7P
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 481630-08-4P 481630-09-5P 481630-10-8P
 481630-11-9P 481630-12-0P 481630-19-7P
 481630-20-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole-3-acetic acids as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

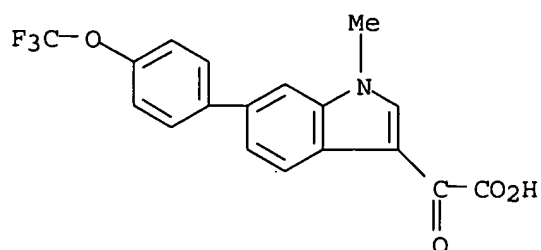
RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

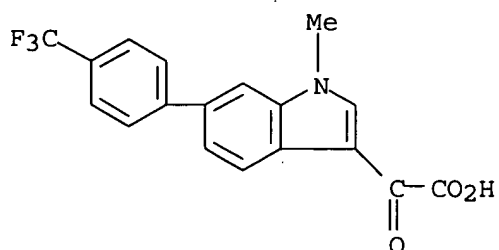


RN 481629-54-3 HCAPLUS

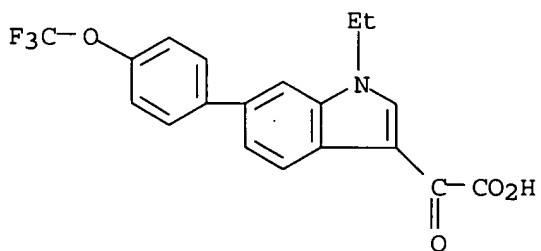
CN 1H-Indole-3-acetic acid, 1-methyl-α-oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



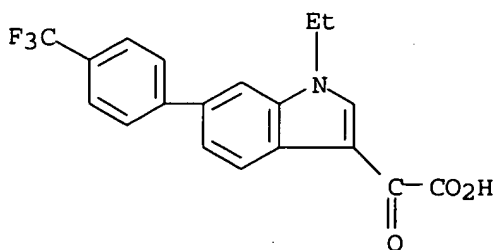
RN 481629-56-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-methyl- α -oxo-6-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

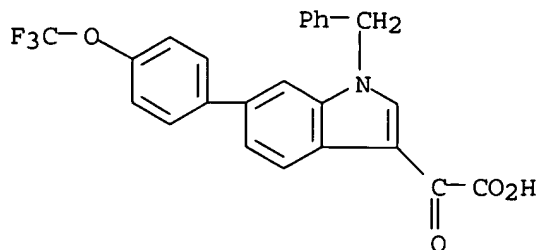
RN 481629-57-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-ethyl- α -oxo-6-[4-(trifluoromethoxy)phenyl] - (9CI) (CA INDEX NAME)

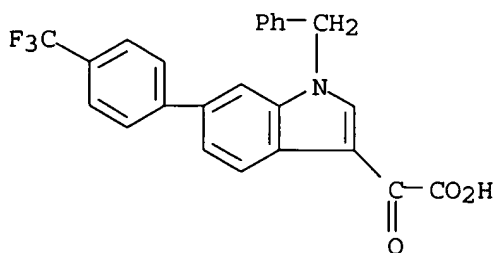
RN 481629-58-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-ethyl- α -oxo-6-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

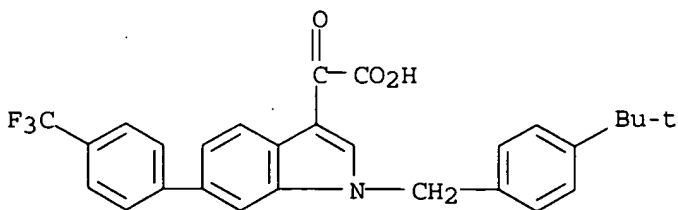
RN 481629-59-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 481629-60-1 HCAPLUS

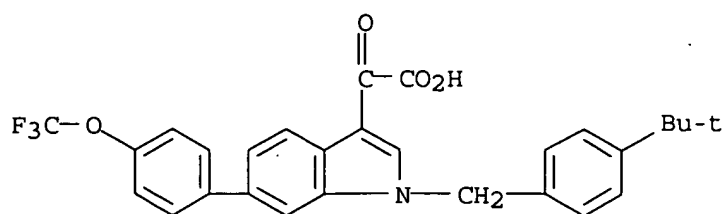
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RN 481629-61-2 HCAPLUS

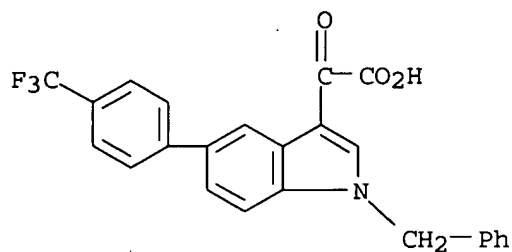
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 481629-62-3 HCAPLUS

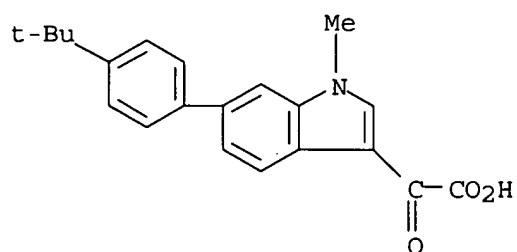
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



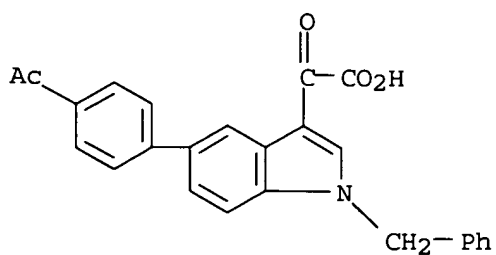
RN 481629-63-4 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 481629-64-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 6-[4-(1,1-dimethylethyl)phenyl]-1-methyl- α -oxo- (9CI) (CA INDEX NAME)

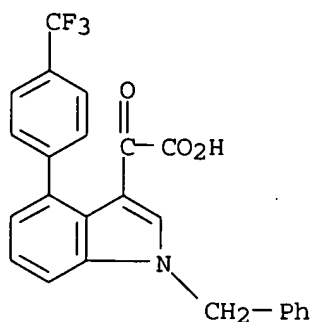
RN 481629-65-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-(4-acetylphenyl)- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

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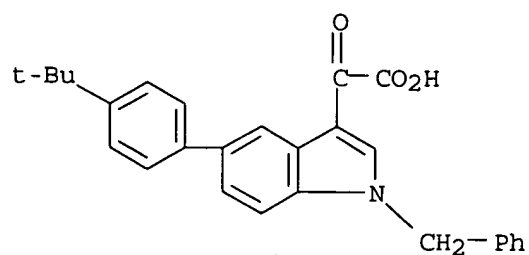
05/14/2006 10731308.trn

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



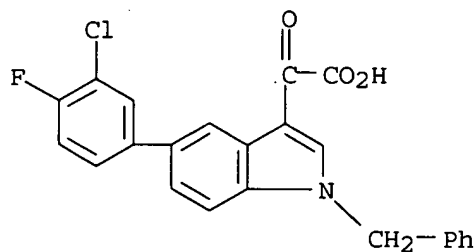
RN 481629-67-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[4-(1,1-dimethylethyl)phenyl]- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



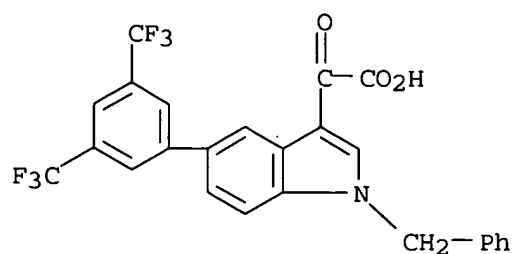
RN 481629-68-9 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-(3-chloro-4-fluorophenyl)- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



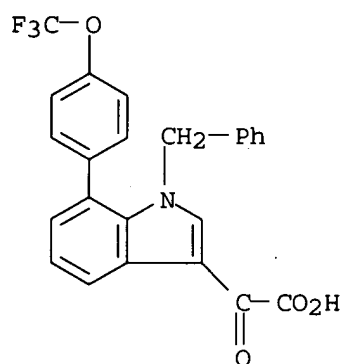
RN 481629-69-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 5-[3,5-bis(trifluoromethyl)phenyl]- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



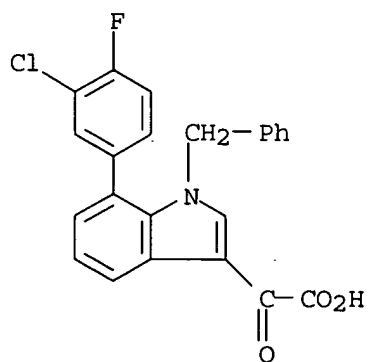
RN 481629-70-3 HCAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-1-(phenylmethyl)-7-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



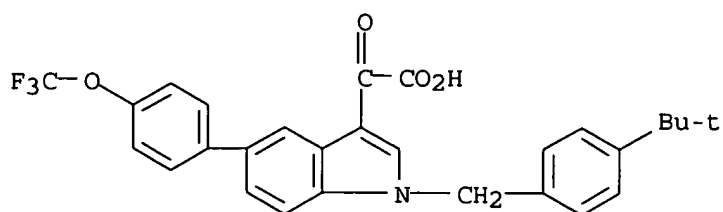
RN 481629-71-4 HCAPLUS

CN 1H-Indole-3-acetic acid, 7-(3-chloro-4-fluorophenyl)- α -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



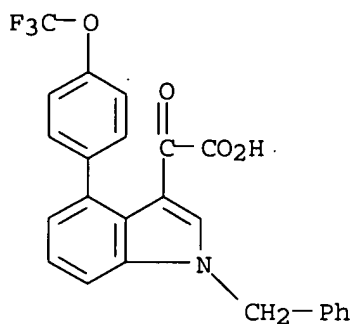
RN 481629-72-5 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



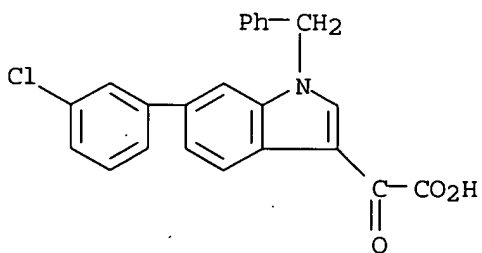
RN 481629-73-6 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-4-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



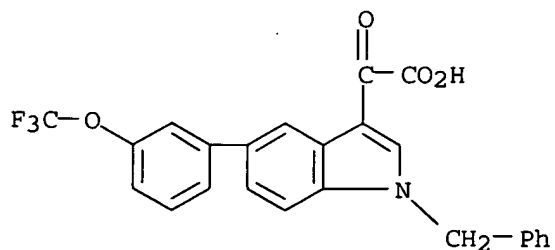
RN 481629-74-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 6-(3-chlorophenyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 481629-75-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

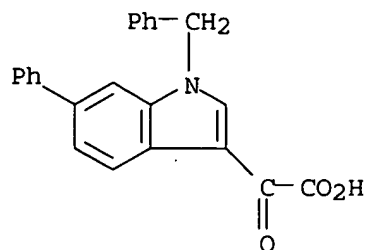


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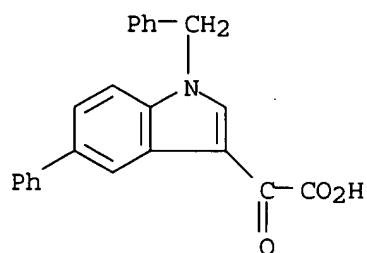
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CN 1H-Indole-3-acetic acid, α -oxo-6-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



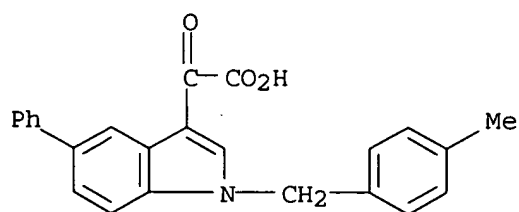
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CN 1H-Indole-3-acetic acid, α -oxo-5-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



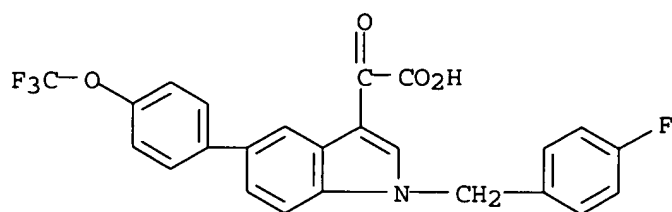
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CN 1H-Indole-3-acetic acid, 1-[(4-methylphenyl)methyl]- α -oxo-5-phenyl- (9CI) (CA INDEX NAME)

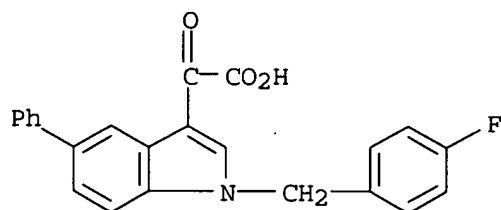


RN 481629-80-5 HCAPLUS

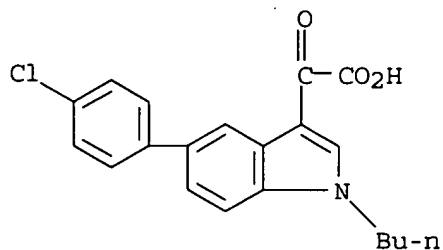
CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]- α -oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



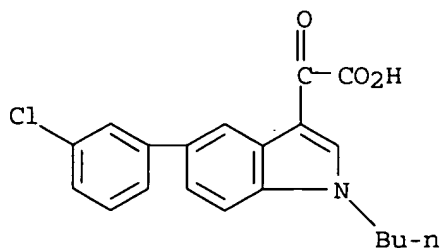
RN 481629-81-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]-α-oxo-5-phenyl-
(9CI) (CA INDEX NAME)

RN 481629-82-7 HCAPLUS

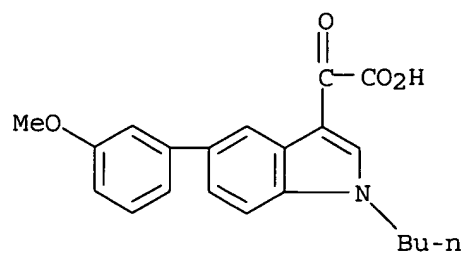
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(CA INDEX NAME)

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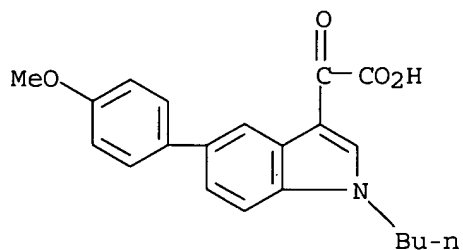
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(CA INDEX NAME)

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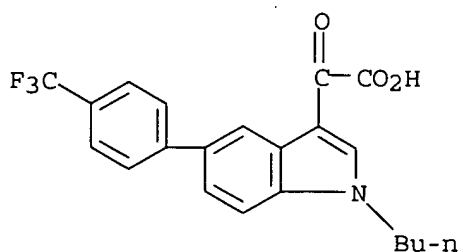
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(CA INDEX NAME)



RN 481629-85-0 HCAPLUS

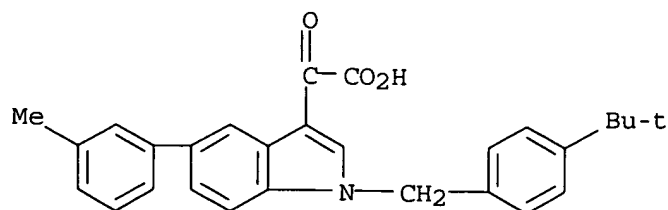
CN 1H-Indole-3-acetic acid, 1-butyl-5-(4-methoxyphenyl)-α-oxo- (9CI)
(CA INDEX NAME)

RN 481629-86-1 HCAPLUS

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(9CI) (CA INDEX NAME)

RN 481629-87-2 HCAPLUS

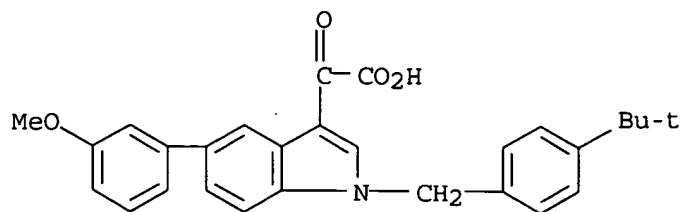
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)



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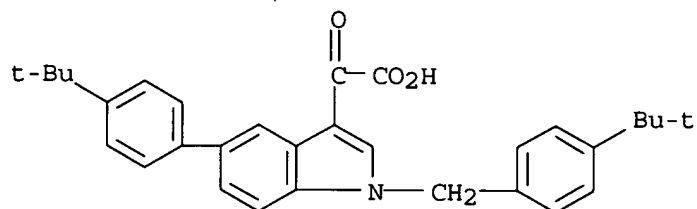
RN 481629-88-3 HCAPLUS

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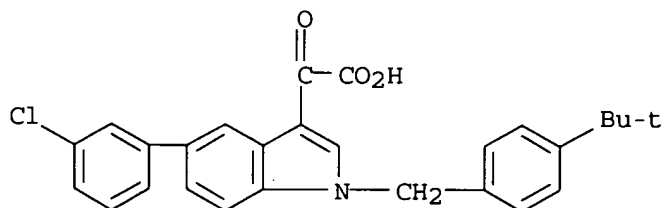
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CN 1H-Indole-3-acetic acid, 5-[4-(1,1-dimethylethyl)phenyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]- α -oxo- (9CI) (CA INDEX NAME)



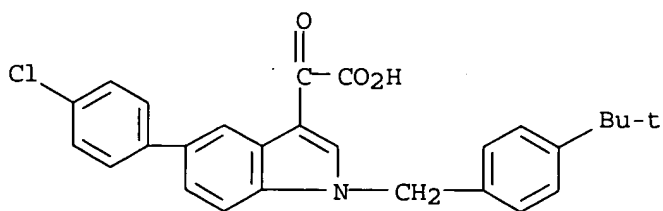
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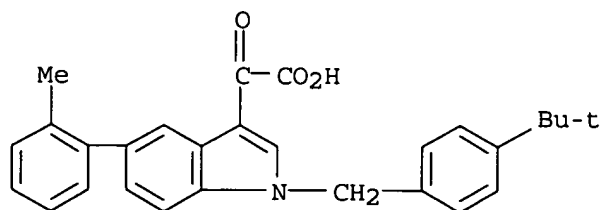
RN 481629-91-8 HCAPLUS

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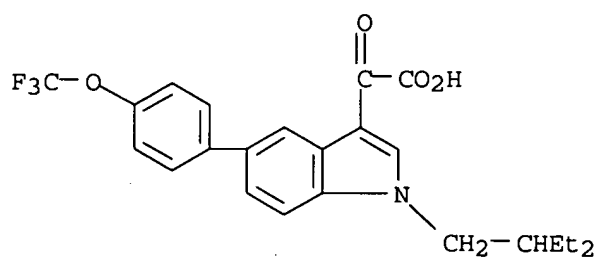
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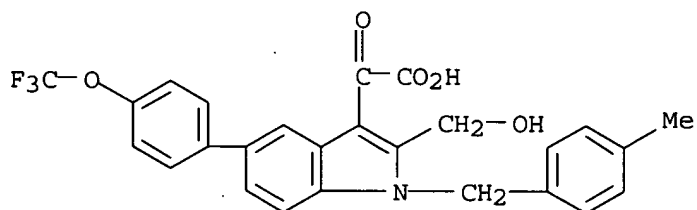
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CN 1H-Indole-3-acetic acid, 1-(2-ethylbutyl)-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-95-2 HCAPLUS

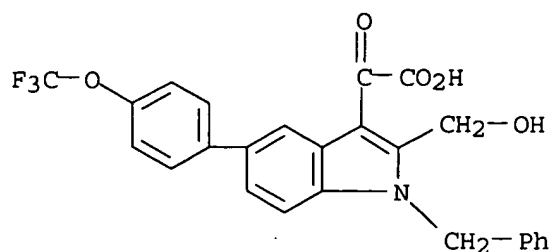
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)



● K

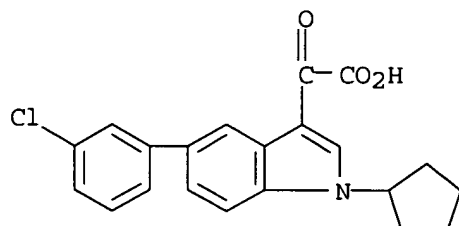
RN 481629-97-4 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)

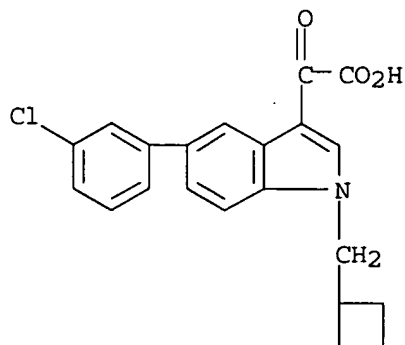


● K

RN 481629-98-5 HCAPLUS

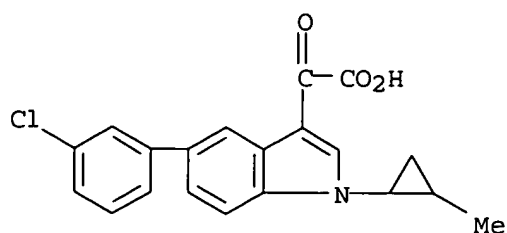
CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-cyclopentyl-α-oxo-
(9CI) (CA INDEX NAME)

RN 481629-99-6 HCAPLUS

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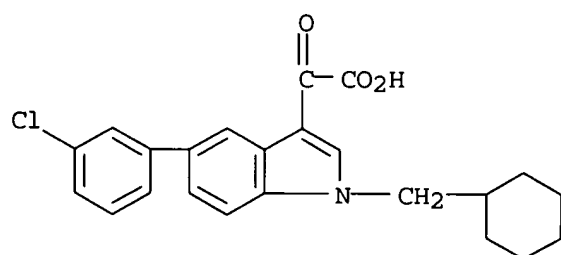
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CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-(2-methylcyclopropyl)-
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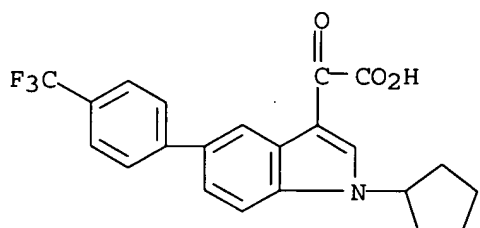
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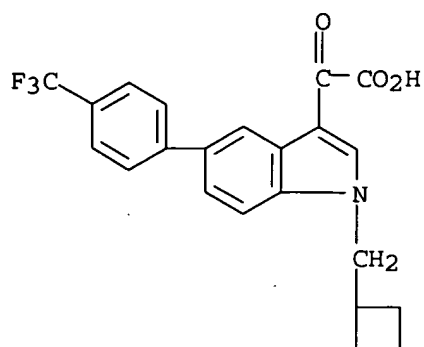
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CN 1H-Indole-3-acetic acid, 1-cyclopentyl-α-oxo-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



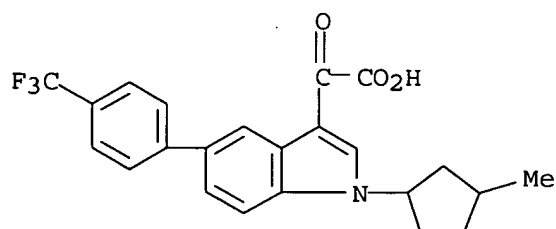
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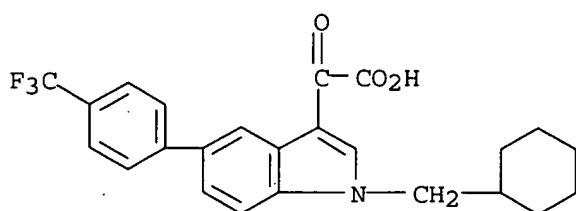
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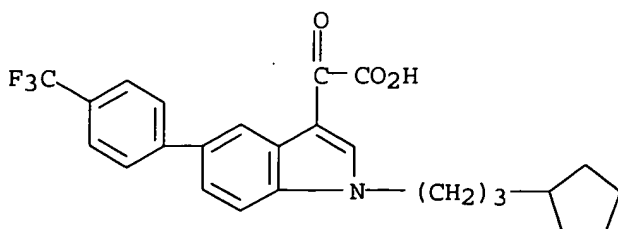
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RN 481630-06-2 HCAPLUS

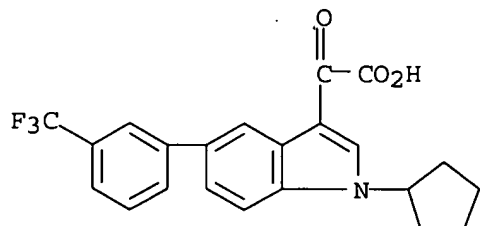
CN 1H-Indole-3-acetic acid, 1-(3-cyclopentylpropyl)-α-oxo-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



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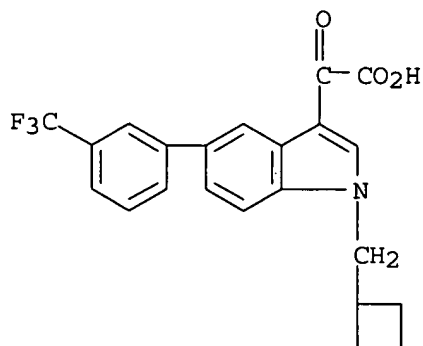
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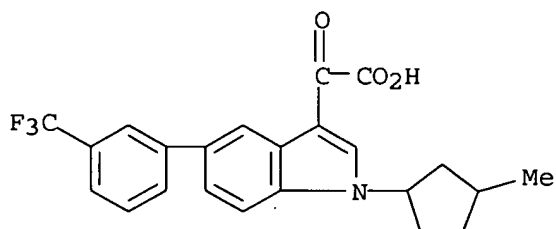
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CN 1H-Indole-3-acetic acid, 1-(cyclobutylmethyl)- α -oxo-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



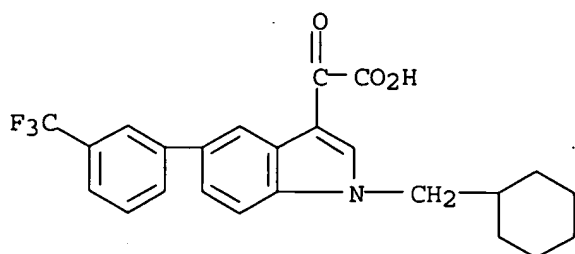
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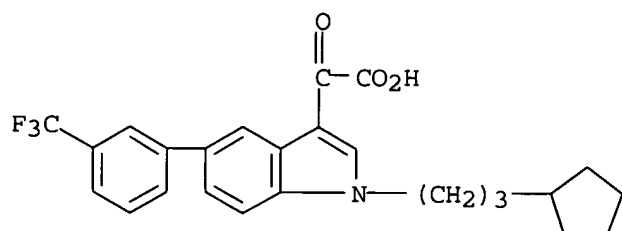
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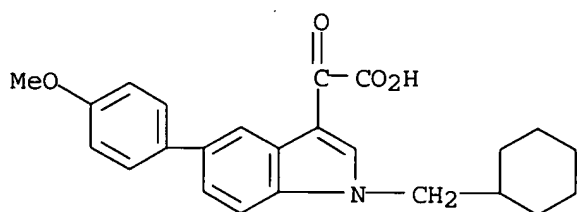
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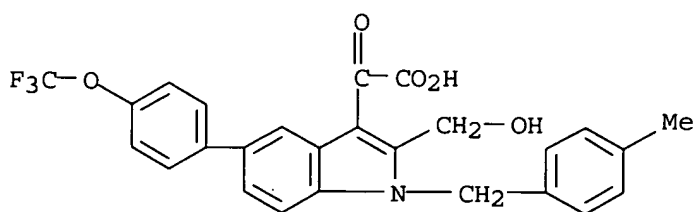
RN 481630-12-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(cyclohexylmethyl)-5-(4-methoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)



RN 481630-19-7 HCAPLUS

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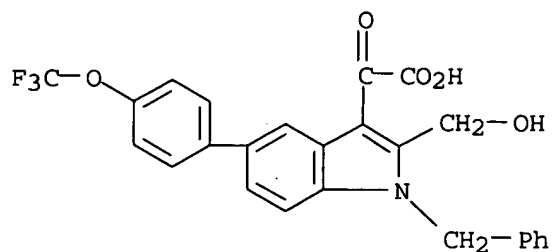


RN 481630-20-0 HCAPLUS

CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

05/14/2006

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REFERENCE COUNT:

2

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

58.69

572.04

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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